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NEWS
      3
                 CA/CAplus enhanced with additional kind codes for granted
NEWS
         AUG 13
                 patents
      5
         AUG 20
                 CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS
NEWS
      6
         AUG 27
                 Full-text patent databases enhanced with predefined
                 patent family display formats from INPADOCDB
NEWS
         AUG 27
                 USPATOLD now available on STN
                 CAS REGISTRY enhanced with additional experimental
NEWS
      8
         AUG 28
                 spectral property data
                 STN AnaVist, Version 2.0, now available with Derwent
NEWS
      9
         SEP 07
                 World Patents Index
                 FORIS renamed to SOFIS
NEWS 10
         SEP 13
NEWS 11
         SEP 13
                 INPADOCDB enhanced with monthly SDI frequency
                 CA/CAplus enhanced with printed CA page images from
NEWS 12
         SEP 17
                 1967-1998
                 CAplus coverage extended to include traditional medicine
NEWS 13
         SEP 17
         SEP 24
                 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 14
NEWS 15
         OCT 02
                 CA/CAplus enhanced with pre-1907 records from Chemisches
                 Zentralblatt
         OCT 19
                 BEILSTEIN updated with new compounds
NEWS 16
NEWS 17
         NOV 15
                 Derwent Indian patent publication number format enhanced
         NOV 19
                 WPIX enhanced with XML display format
NEWS 18
         NOV 30
                 ICSD reloaded with enhancements
NEWS 19
NEWS 20
        DEC 04
                 LINPADOCDB now available on STN
        DEC 14
                 BEILSTEIN pricing structure to change
NEWS 21
        DEC 17
                 USPATOLD added to additional database clusters
NEWS 22
                 IMSDRUGCONF removed from database clusters and STN
         DEC 17
NEWS 23
                 DGENE now includes more than 10 million sequences
NEWS 24
         DEC 17
NEWS 25
         DEC 17
                 TOXCENTER enhanced with 2008 MeSH vocabulary in
                 MEDLINE segment
                 MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS 26
         DEC 17
                 CA/CAplus enhanced with new custom IPC display formats
NEWS 27
         DEC 17
                 STN Viewer enhanced with full-text patent content
NEWS 28
         DEC 17
                 from USPATOLD
NEWS 29
         JAN 02
                 STN pricing information for 2008 now available
                 CAS patent coverage enhanced to include exemplified
NEWS 30
         JAN 16
                 prophetic substances
                 USPATFULL, USPAT2, and USPATOLD enhanced with new
NEWS 31
         JAN 28
                 custom IPC display formats
         JAN 28
                 MARPAT searching enhanced
NEWS 32
                 USGENE now provides USPTO sequence data within 3 days
NEWS 33
         JAN 28
                 of publication
                 TOXCENTER enhanced with reloaded MEDLINE segment
         JAN 28
NEWS 34
NEWS 35
                 MEDLINE and LMEDLINE reloaded with enhancements
         JAN 28
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NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2,

CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

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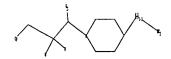
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chain nodes :
7  8  9  10  11  12  13  14  19
ring nodes :
1  2  3  4  5  6
chain bonds :
1-14  4-7  7-8  7-13  8-9  8-11  8-12  9-10  14-19
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6
exact/norm bonds :
1-2  1-6  2-3  3-4  4-5  4-7  5-6  7-13  9-10
exact bonds :
1-14  7-8  8-9  8-11  8-12  14-19
isolated ring systems :
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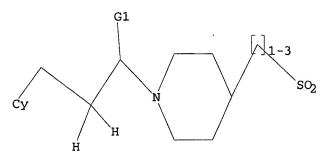
G1:H,Ak

Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom 11:CLASS 12:CLASS 13:CLASS 14:CLASS 19:CLASS

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L1 HAS NO ANSWERS

L1 STR



G1 H,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 18:21:09 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 92 TO ITERATE

100.0% PROCESSED 92 ITERATIONS 16 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 1265 TO 2415

PROJECTED ANSWERS: 80 TO 560

L2 16 SEA SSS SAM L1

=> s 11 full

FULL SEARCH INITIATED 18:21:16 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1969 TO ITERATE

100.0% PROCESSED 1969 ITERATIONS 260 ANSWERS

SEARCH TIME: 00.00.01

L3 260 SEA SSS FUL L1

=> file caplus

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L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2008 ACS ON STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
144:108213
Preparation of piperidine and 8arabicyclo[3.2.1]octane derivatives as modulators of chemokine receptor CCR5
INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
PATENT ASSIGNEE(S):
DOCUMENT TYPE:

ASTREAMAGE
PCT INT. Appl., 122 pp.
CODEN: PIXXD2
PATENT TYPE:
PATENT TYPE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: Patent English

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OTHER SOURCE(S):

MARPAT 144:108213

ANSWER 1 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

872849-73-5 CAPLUS 4-Piperidino1, 1-[(3R)-3-(3,5-difluorophenyl)-3-[4-

(methylsulfonyl)phenyl]propyl]-4-[2-[[4-(methylsulfonyl)phenyl]sulfonyl]et hyl)- (CA INDEX NAME)

Absolute stereochemistry.

872849-74-6 CAPLUS
Piperidine, 1-[(3R)-3-(3,5-difluorophenyl)-3-[4-(methylaulfonyl)phenyl]propyl]-4-methoxy-4-[2-[[4-(methylaulfonyl)phenyl]sulfonyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 1 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Title compds. represented by the formula I [wherein A = absent or CH2CH2; Rl = alkyl, amide, (heterolaryl, etc.; R2 = (un)substituted Ph or heteroaryl; R3 = H or alkyl; R4 = halo, hydroxy, cyano, etc.; R5 = aryl, alkoxyaryl, alkylaryl, etc.; and pharmaceutically acceptable salts thereof) were prepared as chemokine receptor (CCR5) modulators. For example, II was provided in a multi-step synthesis starting from 4-methyl-4-[2-[14-(methylsulfonyl)phenyl]sulfonyl]pthyl]piperidine. I were tested activity as CCR5 modulators for inhibiting the binding of RANTES and MIP-la, certain compds. have an IC50 of less than 50 µM. Thus, I and their pharmaceutical compns. are useful for the treatment of CCR5-mediated diseases.
872849-72-4P 872849-73-5P 872849-74-6P 872849-75-7P 872849-76-6P 872849-77-9P 872849-76-8P 872849-76-8P 872849-77-9P 872849-81-76-8P 872849-81-7P 872849-81-79 872849-81-79 872849-81-79 872849-81-79 872849-81-79 872849-81-79 872849-81-79 872849-81-79 872849-81-79 872849-81-79 872849-81-79 872849-81-79 872849-81-79 872849-81-79 872849-91-79

RL: PAC (Pharmacological activity): SPN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): USES

(preparation of piperidine and 8-azabicyclo[3.2.1]octane derivs. as CCRS

modulators)
872849-72-4 CAPLUS
Piperidine, 1-[(3R)-3-(3,5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl)propyl]-4-methyl-4-[2-[4-(methylsulfonyl)phenyl]sulfonyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 1 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

872849-75-7 CAPLUS
Piperidine, 1-[(3R)-3-(3,5-difluorophenyl)-3-[4(methylsulfonyl)phenyl)propyl)-4-fluoro-4-[2-[[4(methylsulfonyl)phenyl]sulfonyl)ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

872849-76-8 CAPLUS
Piperidine, 1-[(3R)-3-(3,5-difluorophenyl)-3-[4(methylsulfonyl)phenyl)propyl)-4-ethyl-4-[2-[[4(methylsulfonyl)phenyl]sulfonyl)ethyl]- (CA INDEX NAME)

872849-77-9 CAPLUS 4-Piperidinecarbonitrile, 1-[(3R)-3-(3,5-difluorophenyl)-3-[4-

Absolute stereochemistry.

872849-78-0 CAPLUS
Piperidine, 4-methyl-4-[2-[[4-(methylsulfonyl)phenyl]sulfonyl)ethyl]-1[(3R)-3-[1-(methylsulfonyl)-4-piperidinyl]-3-phenylpropyl]- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

ANSWER 1 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

872849-81-5 CAPLUS Piperidine, 1-[(3R)-3-(3,5-difluoropheny1)-3-(tetrahydro-1,1-dioxido-2H-1)

thiopyran-4-yl)propyl}-4-fluoro-4-{2-{{4-(methylsulfonyl)phenyl}sulfonyl}e thyl}- (CA INDEX NAME)

Absolute stereochemistry.

872849-82-6 CAPLUS
Piperidine, 1-{(3R)-3-(3,5-difluorophenyl)-3-(tetrahydro-2H-pyran-4-yl)propyl)-4-methyl-4-{2-{(4-(methylsulfonyl)phenyl)sulfonyl)ethyl}- (CAINDEX NAME)

Absolute stereochemistry.

872849-83-7 CAPLUS Piperidine, 1-[(3R)-3-(3,5-difluorophenyl)-3-{1-(methylsulfonyl)-4-

Absolute stereochemistry.

ANSWER 1 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

872849-79-1 CAPLUS
Piperidine, 1-((3R)-3-(3,5-difluorophenyl)-3-(tetrahydro-2H-pyran-4-yl)propyl-4-fluoro-4-(2-{(4-(methylsulfonyl)phenyl)sulfonyl)ethyl}- (CA INDEX NAME)

872849-80-4 CAPLUS Piperidine, 1-[(3R)-3-(3,5-difluorophenyl)-3-[1-(methylsulfonyl)-4-

piperidiny1)propy1)-4-fluoro-4-[2-[{4-(methylsulfonyl)phenyl]sulfonyl]ethy
1]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

872849-84-8 CAPLUS
4-Piperidinol, 1-[(3R)-3-(3,5-difluorophenyl)-3-[1-(methylsulfonyl)-4-piperidinyl)propyl)-4-[2-[[4-(methylsulfonyl)phenyl]sulfonyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

872849-85-9 CAPLUS
4-Piperidinol, 1-[(3R)-3-(3,5-difluorophenyl)-3-(tetrahydro-2H-pyran-4-y)propyl]-4-[2-[[4-(methylsulfonyl)phenyl]sulfonyl)ethyl)- (CA INDEX NAME)

(Continued)

RN 872849-86-0 CAPLUS CN Piperidine, 1-[(3R)-3-(3,5-difluorophenyl)-3-[(2S)-tetrahydro-2-methyl-2H-

pyran-4-yl]propyl]-4-methyl-4-{2-{{4-(methylsulfonyl)phenyl]sulfonyl}ethyl
}- (CA INDEX NAME)

Absolute stereochemistry.

RN 872849-87-1 CAPLUS
CN Piperidine,
1-[(3R)-3-(3.5-difluorophenyl)-3-[(2S)-tetrahydro-2-methyl-2H-

pyran-4-yl]propyl]-4-fluoro-4-{2-[(4-(methylsulfonyl)phenyl]sulfonyl]ethyl |- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

872849-90-6 CAPLUS Piperidine, 1-[(3R)-3-(3,5-difluorophenyl)-3-[1-(methylsulfonyl)-4-

piperidinyl]propyl]-4-methoxy-4-{2-[[4-(methylsulfonyl)phenyl]sulfonyl]eth
 yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

872849-91-7 CAPLUS
4-Piperidinecarbonitrile, 1-{(3R)-3-(3.5-difluorophenyl)-3-[1-(methylsulfonyl)-4-piperidinyl)propyl)-4-[2-[[4-(methylsulfonyl)phenyl]sulfonyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

872849-88-2 CAPLUS Piperidine, 1-[(3R)-3-(3,5-difluorophenyl)-3-(tetrahydro-1,1-dioxido-2H-

thiopyran-4-y1)propy1)-4-methy1-4-[2-[[4-(methylsulfony1)pheny1]sulfony1]e thy1]- (CA INDEX NAME)

Absolute stereochemistry.

872849-89-3 CAPLUS Piperidine, 1-[(3R)-3-(3,5-difluorophenyl)-3-[1-(methylsulfonyl)-4-

Absolute stereochemistry.

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

872849-92-8 CAPLUS
Piperidine, 1-[(3R)-3-(3,5-difluorophenyl)-3-(tetrahydro-2H-pyran-4-yl)propyl]-4-methoxy-4-[2-[[4-(methylsulfonyl)phenyl]sulfonyl)ethyl)-

INDEX NAME)

Absolute stereochemistry.

872849-93-9 CAPLUS
Piperidine, 1-[(3R)-3-(3,5-difluorophenyl)-3-[1-(methylsulfonyl)-4-piperidinyl)propyl)-4-methoxy-4-[2-[(4-methoxyphenyl)sulfonyl)ethyl)-(9CI) (CA INDEX NAME)

872849-94-0 CAPLUS
4-Piperidinol, 1-[(3R)-3-(3,5-difluorophenyl)-3-[1-(methylsulfonyl)-4-piperidinyl)propyl]-4-[2-[(4-methoxyphenyl)sulfonyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 872849-95-1 CAPLUS
CN Piperaxine.
1-[(1S)-3-[4-methyl-4-[2-[4-(methylsulfonyl)phenyl]sulfonyl]e
thyl]-1-piperidinyl]-1-phenylpropyl)-4-(methylsulfonyl)- (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 1 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

 $872849 - 98 - 4 \quad \text{CAPLUS} \\ 4 - \text{Piperidine} \\ \text{carbonitrile, } 1 - [(3R) - 3 - (3,5 - \text{difluorophenyl}) - 3 - (\text{tetrahydro-4-}) \\ \text{carbonitrile, } 1 - [(3R) - 3 - (3,5 - \text{difluorophenyl}) - 3 - (\text{tetrahydro-4-}) \\ \text{carbonitrile, } 1 - [(3R) - 3 - (3,5 - \text{difluorophenyl}) - 3 - (\text{tetrahydro-4-}) \\ \text{carbonitrile, } 1 - [(3R) - 3 - (3,5 - \text{difluorophenyl}) - 3 - (\text{tetrahydro-4-}) \\ \text{carbonitrile, } 1 - [(3R) - 3 - (3,5 - \text{difluorophenyl}) - 3 - (\text{tetrahydro-4-}) \\ \text{carbonitrile, } 1 - [(3R) - 3 - (3,5 - \text{difluorophenyl}) - 3 - (\text{tetrahydro-4-}) \\ \text{carbonitrile, } 1 - [(3R) - 3 - (3,5 - \text{difluorophenyl}) - 3 - (\text{tetrahydro-4-}) \\ \text{carbonitrile, } 1 - [(3R) - 3 - (3,5 - \text{difluorophenyl}) - 3 - (\text{tetrahydro-4-}) \\ \text{carbonitrile, } 1 - [(3R) - 3 - (3,5 - \text{difluorophenyl}) - 3 - (\text{tetrahydro-4-}) \\ \text{carbonitrile, } 1 - [(3R) - 3 - (3,5 - \text{difluorophenyl}) - 3 - (\text{tetrahydro-4-}) \\ \text{carbonitrile, } 1 - [(3R) - 3 - (3,5 - \text{difluorophenyl}) - 3 - (\text{tetrahydro-4-}) \\ \text{carbonitrile, } 1 - [(3R) - 3 - (3,5 - \text{difluorophenyl}) - 3 - (\text{tetrahydro-4-}) \\ \text{carbonitrile, } 1 - [(3R) - 3 - (3,5 - \text{difluorophenyl}) - 3 - (\text{tetrahydro-4-}) \\ \text{carbonitrile, } 1 - [(3R) - (3,5 - \text{difluorophenyl}) - (3R) - (3R) - (3R) - (3R) \\ \text{carbonitrile, } 1 - (3R) \\ \text{carbonitrile, } 1 - (3R) - (3R)$

methyl-2H-pyran-4-yl)propyl}-4-{2-[[4-(methylsulfonyl)phenyl)sulfonyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

872849-99-5 CAPLUS
Cyclohexanecarboxamide, 4.4-difluoro-N-[(IS)-3-[4-fluoro-4-[2-[[4-(methylaulfonyl)phenyl]sulfonyl]ethyl]-1-piperidinyl)-1-phenylpropyll-(CA INDEX NAME)

$$\mathsf{Me} \overset{\mathsf{Q}}{\underset{\mathsf{F}}{\bigcirc}} \overset{\mathsf{Ph}}{\underset{\mathsf{F}}{\bigcirc}} \overset{\mathsf{Ph}}{\underset{\mathsf{H}}{\bigcirc}} \overset{\mathsf{Ph}}{\underset{\mathsf{H}}{\bigcirc}} \overset{\mathsf{Ph}}{\underset{\mathsf{F}}{\bigcirc}} \overset{\mathsf{Ph}}{\underset{\mathsf{F}}{\stackrel{\mathsf{Ph}}}} \overset{\mathsf{Ph}}{\underset{\mathsf{F}}{\stackrel{\mathsf{Ph}}}} \overset{\mathsf{Ph}}{\underset{\mathsf{F}}{\stackrel{\mathsf{Ph}}}} \overset{\mathsf{Ph}}{\underset{\mathsf{F}}{\stackrel{\mathsf{Ph}}}} \overset{\mathsf{Ph}}{\underset{\mathsf{F}}{\stackrel{\mathsf{Ph}}}} \overset{\mathsf{Ph}}{\underset{\mathsf{F}}} \overset{\mathsf{Ph}}{\underset{\mathsf{F}}{\stackrel{\mathsf{Ph}}}} \overset{\mathsf{Ph}}{\underset{\mathsf{F}}} \overset{\mathsf{Ph}}{\underset{\mathsf{F}}} \overset{\mathsf{Ph}}{\underset{\mathsf{F}}} \overset{\mathsf{Ph}}{\underset{\mathsf{F}}} \overset{\mathsf{Ph}}{\underset{\mathsf{F}}} \overset{\mathsf{Ph}}{\underset{\mathsf{F}}} \overset{\mathsf{Ph}}{\underset{\mathsf{F}}} \overset{\mathsf{Ph}}{\underset{\mathsf{F}}} \overset{\mathsf{Ph}}{\underset{\mathsf{F}}} \overset{\mathsf{P}}{\underset{\mathsf{F}}} \overset{\mathsf{Ph}}{\underset{\mathsf{F}}} \overset{\mathsf{Ph}}{\underset{\mathsf{F}}} \overset{\mathsf{P}}{\underset{\mathsf{F}}} \overset{\mathsf{P}}} \overset{\mathsf{P}}{\underset{\mathsf{F}}} \overset{\mathsf{P}}{\underset{\mathsf{F}}} \overset{\mathsf{P}}{\underset{\mathsf{F}}} \overset{\mathsf{P}}{\underset{\mathsf{F}}} \overset{\mathsf{P}}{\overset$$

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

872849-96-2 CAPLUS
Piperazine, 1-[(IS)-1-(3,5-difluorophenyl)-3-[4-methyl-4-[2-[[4-methyl1cfonyl)phenyl]sulfonyl]ethyl]-1-piperidinyl)propyl]-4(methylsulfonyl)- (CA INDEX NAME)

Absolute stereochemistry.

872849-97-3 CAPLUS
Piperazine, 1-((1S)-1-(3,5-difluorophenyl)-3-[4-[2-[(4-methoxyphenyl)sulfonyl]ethyl]-4-methyl-1-piperidinyl)propyl]-4-(methylsulfonyl)- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

872850-00-5 CAPLUS Cyclohexanecarboxamide, 4,4-difluoro-N-[(1S)-3-[4-hydroxy-4-[2-[[4-(methylsulfonyl)phenyl]sulfonyl]ethyl]-1-piperidinyl]-1-phenylpropyl|-(CA INDEX NAME)

Absolute stereochemistry.

872850-01-6 CAPLUS Cyclohexanecarboxamide, 4,4-difluoro-N-[(15)-3-[4-methyl-4-[2-[[4-(methylsulfonyl)phenyl]sulfonyl]ethyl]-1-piperidinyl]-1-phenylpropyl]-(CA INDEX NAME)

Absolute stereochemistry.

872850-09-4 CAPLUS
1-Piperidinecarboxylic acid, 4-[[2-[1-[(3R)-3-(3,5-difluorophenyl)-3-[1-(methylsulfonyl)-4-piperidinyl)propyl]-4-methyl-4-piperidinyl]ethyl]sulfonyl]-, phenylmethyl ester (CA INDEX NAME)

(Continued)

872850-10-7 CAPLUS
Piperidine, 1-(13R)-3-(3.5-difluoropheny1)-3-[1-(methylsulfony1)-4-piperidiny1)propy1]-4-methy1-4-[2-{[1-(methylsulfony1)-4-piperidiny1)sulfony1}ethy1]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

872850-11-8 CAPLUS
Piperidine, 1-[(3R)-3-(3.5-difluoropheny1)-3-[1-(methylsulfony1)-4-piperidiny1)propy1]-4-methyl-4-[2-[(tetrahydro-2H-pyran-4-yl)sulfony1)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 1 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

REFERENCE COUNT:

THERE ARE 14 CITED REFERENCES AVAILABLE FOR

FORMAT

RECORD. ALL CITATIONS AVAILABLE IN THE RE

872850-25-4P, (1S)-3-[4-Methyl-4-[2-[{4-(methylsulfonyl)phenyl]sulfonyl]ethyl]piperidin-1-yl]-1-phenylpropan-1-ol 872850-28-7P RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation); RACT (Reactant or rea

ccR5
modulators)
RN 872850-25-4 CAPLUS
CN 1-Piperidinepropanol,
4-methyl-4-12-[14-(methylsulfonyl)phenyl]sulfonyl]et
hyl]-u-phenyl-, (aS)- (CA INDEX NAME)

Absolute stereochemistry.

872850-28-7 CAPLUS
Piperidine, 1-[(]R)-]-(],5-difluorophenyl)-3-[1-(methylsulfonyl)-4-piperidinyl)propyl)-4-methyl-4-[2-(4-piperidinylsulfonyl)ethyl]-(]GCI)(CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:99468 CAPLUS
DOCUMENT NUMBER: 142:197688
TITLE: reparation of piperidine derivatives as chemokine receptor modulators
INVENTOR(5): Brown, Dearg; Oldfield, John; Tucker, Howard
ARTENT ASSIGNEE(S): CODEN: PIXXU2
DOCUMENT TYPE: Patent
LANGUAGE: Patent
LANGUAGE: Patent
English
THE BROWN COUNT: 1

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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	WO	2005	0099	59		Al		2005	0203		WO 2	004-	SE11	49		2	0040	726
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											SE 2	004-	1420			A 2	0040	603
											WO 2	004-	SE11	49		₩ 2	0040	726

OTHER SOURCE(S): CASREACT 142:197888; MARPAT 142:197888

Title compds, represented by the formula I [wherein λ = absent or (CH2)2; Rl = (un)substituted carbonylamino, carbonylalkoxy, (hetero)aryl, etc.; R2

* Ph. heteroaryl or cycloalkyl; R3 = H or alkyl; X = SO2NR4R5 or

treatment of CCR5 mediated diseases (no data).
835876-90-9P 835876-91-0P 835876-92-1P
835876-93-2P 835876-94-3P 835876-95-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(Uses)
(Uses)
(preparation of piperidine derivs. as chemokine receptor CCR5 modulators)
RN 835876-90-9 CAPLUS
CN 4-Piperidineethanesulfonamide, 1-[(3R)-3-(3,5-difluoropheny1)-3-[1-(methylsulfony1)-4-piperidiny1)propy1]-N-(2-methoxyethy1)- (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

835876-93-2 CAPLUS 4-Piperidineethanesulfonamide, N-cyclopentyl-1-[(3R)-3-(3,5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl)propyl]- (CA INDEX NAME)

Absolute stereochemistry.

835876-94-3 CAPLUS 4-Fiperidineethanesulfonamide, 1-{(3R)-3-(3,5-difluorophenyl)-3-(4-(methylsulfonyl)phenyl)propyl)-N-(2-methoxyethyl)- (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

835876-91-0 CAPLUS
4-Piperidineethanesulfonamide, N-cyclopropyl-1-{(3R}-3-(3.5-difluorophenyl)-3-{4-(methylsulfonyl)phenyl)propyl}- (CA INDEX NAME)

835876-92-1 CAPLUS
4-Piperidineethanesulfonamide, 1-[(3R)-3-(3,5-difluorophenyl)-3-[4-methylsulfonyl)phenyl]propyl]-N.N-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

835876-95-4 CAPLUS
4-Piperidineethanesulfonamide, 1-[(3R)-3-(3,5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl)propyl)-N.N-diethyl- (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2005:74101 CAPLUS DOCUMENT NUMBER: 142:176694 Preparation of piperidine or 8-aza-bicyclo[3.2.1]oct-3-

3yl derivatives as chemokine receptor modulators
Brown, Dearg, Cummling, John; Tucker, Howard
Astrazeneca AB, Swed.
PCT Int. Appl., 68 pp.
CODEN: PIXXD2 INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: Patent English 1

PATENT NO. KIND DATE APPLICATION NO. WO 2005007629 A1 20050127 WO 2004-SE1135 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, E	
WO 2005007629 Al 20050127 WO 2004-SE1135 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, E	
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GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, K	
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, M	
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, S	
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, Y	
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AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, C	
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SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, G	
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EP 1648871 A1 20060426 EP 2004-749171	20040714
EP 1648871 B1 20071017	20010724
R: AT. BE. CH. DE. DK. ES. FR. GB. GR. IT. LI. LU. N	T. CP MC PT
IE, SI, PI, RO, CY, TR, BG, CZ, EE, HU, PL, SK	ND, 35, FIC, FI,
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JP 2007528867 T 20071018 JP 2006-520141	
AT 375981 T 20071115 AT 2004-749171	
US 2006205769 A1 20060914 US 2006-564509	
PRIORITY APPLN. INFO.: SE 2003-2090	A 20030716
WO 2004~SE1135	W 20040714

OTHER SOURCE(S):

CASREACT 142:176694; MARPAT 142:176694

ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

833490-62-3 CAPLUS
Piperidine, 1-[(3R)-3-(3,5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl]propyl]-4-[2-(4-piperidinylsulfonyl)ethyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

833490-85-0 CAPLUS
Piperazine, 1-[(2-[1-[(]R)-3-(3,5-difluorophenyl)-3-(4(methylaulfonyl)phenyl)propyl)-4-piperidinyl)ethyl|sulfonyl]-4-ethyl-

(CA

Absolute stereochemistry.

ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Title compds, represented by the formula I (wherein A = absent or (CH2)2; R1 = (un)substituted carbonylamino, carbonylalkoxy, (hetero)aryl, etc.;

* Ph, heteroaryl or cycloalkyl; R3 * H or alkyl; R4 * heterocyclyl; n *
1-3; and pharmaceutically acceptable salts or solvates thereof) were
prepared as modulators of chemokine receptor. For example, II was given

prepared as modulators of Chemokine receptor. For example, 11 was given in a multi-step synthesis starting from the reaction of N-benzyloxycarbonyl-4formylpiperidine with malonic acid. II showed inhibition of the binding of MIP-1a with a Pic50 value of 7.4. Thus, I and their pharmaceutical compns. are useful for the treatment of CCR5 mediated diseases (no data).

IT 831490-55-4P 831490-62-1P 831490-85-0P RL PAC (Pharmacological activity) RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of piperidine derivs. as chemokine receptor modulators)
RN 831490-55-4 CAPLUS

CN Piperidine, 4-(IR)-1-(3,5-difluorophenyl)-3-(4-(2-(4-piperidine, 4-(IR)-1-(3,5-difluorophenyl)-1-(methylsulfonyl)-(9CI)

(CA INDEX NAME)

Absolute stereochemistry.

(9CI)

ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

IT 831490-56-5P 831490-57-6P 831490-58-7P
831490-59-8P 831490-60-1P 831490-61-P
831490-63-4P 831490-66-5P 831490-65-6P
831490-63-4P 831490-67-8P 831490-69-P
831490-69-0P 831490-73-6P 831490-71-4P
831490-75-8P 831490-73-6P 831490-77-0P
831490-75-8P 831490-73-6P 831490-83-P
831490-81-P 831490-87-9-2P 831490-83-8P
831490-81-P 831490-82-7P 831490-83-8P
831490-81-P 831490-82-7P 831490-87-2P
831490-81-P 831490-82-2P 831490-96-7P
831490-81-P 831490-92-9P 831490-96-7P
831490-91-P 831490-92-9P 831490-96-7P
831490-91-P 831491-03-5P 831490-99-6P
831491-03-5P 831491-01-3P 831491-02-4P
831491-03-5P 831491-01-3P 831491-02-4P
831491-03-5P 831491-13-7P 831491-02-4P
831491-03-5P 831491-13-7P 831491-11-5P
831491-12-6P 831491-13-7P 831491-11-5P
831491-15-9P 831491-13-7P 831491-11-5P
831491-15-9P 831491-11-7P 831491-11-8P
831491-15-9P 831491-16-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); TMU (Therapeutic uses); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of piperidine derivs. as chemokine receptor modulators)
RN 831490-56-5 CAPLUS

RPN 831490-56-5 CAPLUS

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RPN 831490-31-1-5P (APLUS)

RPN 831490-36-5 (APLUS

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833490-57-6 CAPLUS
Piperidine, 1-acety1-4-[{2-{1-{(3R)-3-(3,5-difluoropheny1)-3-{1-(methylsulfony1)-4-piperidiny1}propy1}-4-piperidiny1]ethy1]sulfony1}95(1) (CA INDEX NAME)

Absolute stereochemistry.

RN 831490-58-7 CAPLUS
CN Piperidine,
4-[[2-[1-[(3R)-3-(3,5-difluorophenyl)-3-[1-(methylsulfonyl)-4-piperidinyl]propyl]-4-piperidinyl]propyl]-4-piperidinyl]sulfonyl]-1-(methylsulfonyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

833490-61-2 CAPLUS
Piperidine, 4-[12-[1-[(3R)-3-(3.5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl)propyl)-4-piperidinyl]ethyl]sulfonyl)-1-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

833490-63-4 CAPLUS
Piperidine, 4-[[2-[1-{(3R)-3-(3,5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl)propyl)-4-piperidinyllethyl]sulfonyl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

833490-59-8 CAPLUS
Piperidine, 1-[[2-[1-[(3R)-3-(3,5-difluoropheny1)-3-[4-(methylsulfony1)pheny1]propy1]-4-piperidiny1]ethy1]sulfony1]-,
monohydrochloride (9C1) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

833490-60-1 CAPLUS
Piperidine, 1-acetyl-4-[[2-[1-[(3R)-3-(3,5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl)propyl]-4-piperidinyl]ethyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

833490-64-5 CAPLUS
1H-1,4-Diazepine, 1-[[2-[1-[(3R)-3-(3,5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl]propyl]-4-piperidinyl]ethyl]sulfonyl]hexahydro-(CA INDEX NAME)

Absolute stereochemistry.

833490-65-6 CAPLUS
4-Piperidinol, 1-[[2-[1-[(]R)-3-(]3.5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl)propyl]-4-piperidinyl]ethyl|sulfonyl]- (CA INDEX NAME)

RN 833490-66-7 CAPLUS
CN Thiomorpholine, 4-[{2-{1-{(3R)-3-(3,5-difluorophenyl)-3-{4-(methylsulfonyl)phenyl)propyl}-4-piperidinyl]ethyl]sulfonyl}- (CA INDEX NAME)

Absolute stereochemistry.

RN 833490-67-8 CAPLUS
CN Pyrrolidine, 1-[[2-[1-[(3R)-3-(3,5-difluorophenyl)-3-[4(methylsulfonyl)phenyl)propyl]-4-piperidinyl]ethyl]sulfonyl]-2-methyl(9C1) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.

RN 833490-71-4 CAPLUS

NOrpholine, 4-{[2-[1-[(3R)-3-(3,5-difluorophenyl)-3-[4(methylsulfonyl)phenyl]propyl]-4-piperidinyl]ethyl]sulfonyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 833490-68-9 CAPLUS
CN Piperazine, 1-[[2-[1-[[3R]-3-{3,5-difluorophenyl}]-3-[4-(methylsulfonyl)phenyl]propyl]-4-piperidinyl]ethyl]sulfonyl}- (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 833490-72-5 CAPLUS
CN Pyrrolidine, 1-[(2-[1-((3R)-3-(3.5-difluorophenyl)-3-[4(methylsulfonyl)phenyl)propyl)-4-piperidinyl)ethyl)sulfonyl)-3-fluoro-,
(3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

(Continued)

833490-74-7 CAPLUS
1H-Pyrrole, 1-[[2-[1-[(3R)-3-(3.5-difluorophenyl)]-3-[4-(methylsulfonyl)phenyl)propyl)-4-piperidinyl]ethyl)sulfonyl]-2,5-dihydro-(9C1) (CA INDEX NAME)

Absolute stereochemistry.

833490-75-8 CAPLUS
Azetidine, 1-[(2-(1-[(3R)-3-(3,5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl]propyl]-4-piperidinyl]ethyl]sulfonyl)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

833490-78-1 CAPLUS Piperidine, 1-[{2-{1-[(3R)-3-(3,5-difluorophenyl)-3-[4-

(methylsulfonyl)phenyl)propyl]-4-piperidinyl)ethyl)sulfonyl)-4,4-difluoro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

833490-79-2 CAPLUS 8-0xa-3-azabicyclo(3.2.1)octane, $3-[\{2-(1-[(3R)-3-(3,5-difluoropheny1)-3-(4-(methylsulfony1)pheny1)propy1\}-4-piperidiny1]ethyl]sulfony1}- (CA INDEX NAME)$

Absolute stereochemistry.

ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

833490-76-9 CAPLUS
1,4-Oxazepine, 4-[[2-[1-[(3R)-3-(3,5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl)propyl)-4-piperidinyl]ethyl]sulfonyl|hexahydro-(CA INDEX NAME)

(Continued)

Absolute stereochemistry.

833490-77-0 CAPLUS
Piperazine, 1-[[2-[1-[(3R)-3-(3,5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl)propyl]-4-piperidinyl]ethyl]sulfonyl]-4-methyl-(CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

833490-80-5 CAPLUS
2-Pyrrolidinamine, 1-[[2-[1-[(3R)-3-(3,5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl]propyl]-4-piperidinyl]ethyl]sulfonyl]-N-formyl-,
(2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

833490-81-6 · CAPLUS
1-Piperazinecarboxaldehyde, 4-{[2-[1-[(3R)-3-(3,5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl)propyl]-4-piperidinyl]ethyl]sulfonyl]- (CA INDEX NAME)

(Continued)

833490-82-7 CAPLUS
Pyrrolidine, 1-[(2-(1-[(3R)-3-(3,5-difluoropheny1)-3-[4-(methylsulfony1)pheny1)propy1]-4-piperidiny1]ethy1]sulfony1)-2-(methoxymethy1)-, (2S1- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

833490-83-8 CAPLUS
4-Piperidinemethanol, 1-[[2-[1-[(3R)-3-(3.5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl]propyl)-4-piperidinyl]ethyl]sulfonyl]- (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

833490-87-2 CAPLUS 3-Pyrrolidinamine, 1-{{2-{1-{(3R)-3-(3,5-difluorophenyl}-3-{4-

(methylsulfonyl)phenyl)propyl)-4-piperidinyl)ethyl]sulfonyl}-N.N-dimethyl, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

833490-88-3 CAPLUS
Piperazine, 1-[(2-(1-{(3R)-3-(3.5-difluorophenyl)-3-[4-(meth)laulfonyl)phenyl]propyl]-4-piperidinyl]ethyl]sulfonyl]-4-(2-methoxyethyl)- (CA INDEX NAME)

Absolute stereochemistry.

833490-84-9 CAPLUS Morpholine, 4-[{2-(1-{(3R)-3-(3,5-difluorophenyl)-3-(4-

(methylsulfonyl)phenyl]propyl]-4-piperidinyl]ethyl]sulfonyl]-3.5-dimethyl-, (3R,5S)- (CA INDEX NAME)

Absolute stereochemistry.

833490-86-1 CAPLUS Piperazine, 1-[[2-{1-[(3R)-3-(3,5-difluorophenyl)-3-[4-

(methylsulfonyl)phenyl)propyl)-4-piperidinyl)ethyl)sulfonyl)-2,6-dimethyl-(CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

833490-89-4 CAPLUS
2-Pyrrolidinamine, 1-[[2-[1-[(]R)-3-(3,5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl]propyl]-4-piperidinyl]ethyl]sulfonyl]-N-formyl-, (ZR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

833490-90-7 CAPLUS
Pyrrolidine, 1-[(2-[1-[(3R)-3-(3,5-difluoropheny1)-3-[4-(methylsulfony1)pheny1]propy1]-4-piperidiny1]ethy1]sulfony1)-2-(methoxymethy1)-, (2R)- (9C1) (CA INDEX NAME)

833490-91-8 CAPLUS
Piperazine, 1-[(2-[1-{(3R)-3-(3,5-difluoropheny1)-3-[4-(methylsulfony1)pheny1)propy1]-4-piperidiny1]ethy1]sulfony1)-2-methy1-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

833490-92-9 CAPLUS
3-Piperidinecarboxamide, 1-[[2-[1-[(3R)-3-(3,5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl)propyl]-4-piperidinyl)ethyl]sulfonyl}- (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

833490-95-2 CAPLUS
4-Piperidineethanol, 1-{{2-{1-{(3R)-3-(3.5-difluorophenyl)-3-{4-(methylaulfonyl)phenyl)propyl)-4-piperidinyljethyljsulfonyl)- (CA INDEX NAME)

Absolute stereochemistry.

833490-96-3 CAPLUS 1.4-Dioxa-8-azaspiro(4.5)decane, $8-[[2-(1-\{(3R)-3-(3,5-difluoropheny1)-3-(4-(methylsulfony1)pheny1)propy1]-4-piperidiny1)ethy1]sulfony1}- (CA INDEX NAME)$

Absolute stereochemistry.

ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

833490-93-0 CAPLUS Acetamide, N-[1-{[2-{1-{(3R)-3-(3,5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl)propyl)-4-piperidinyl]ethyl]sulfonyl}-3-pyrrolidinyl)- (CA INDEX NAME)

Absolute stereochemistry.

833490-94-1 CAPLUS
4-Piperidinecarboxamide, 1-[[2-{1-{(3R)-3-(3.5-difluoropheny1)-3-[4-(methylsulfony1)pheny1)propy1}-4-piperidiny1)ethyl|sulfony1}- (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

833490-97-4 CAPLUS
3-Azetidinol, 1-[[2-[1-[(3R)-3-(3,5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl)propyl)-4-piperidinyl)ethyl]sulfonyl)- (CA INDEX NAME)

Absolute stereochemistry.

833490-98-5 CAPLUS
1-Piperazineethanol, 4-[[2-[1-[(3R)-3-(3,5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl]propyl]-4-piperidinyl]sulfonyl)- (CA INDEX NAME)

833490-99-6 CAPLUS
4-Piperidinecarboxylic acid, 1-[[2-[1-[(3R)-3-(3,5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl)propyl]-4-piperidinyl]ethyl]sulfonyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

833491-00-2 CAPLUS
Piperazine, 1-acetyl-4-[{2-[1-[(3R)-3-(3,5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl)propyl)-4-piperidinyl]ethyl}sulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

833491-03-5 CAPLUS 2-Pyrrolidinecarboxamide, 1-[[2-[1-[(3R)-3-(3,5-difluorophenyl)-3-[4-

(methylsulfonyl)phenyl)propyl)-4-piperidinyl]ethyl)sulfonyl}-N,N-dimethyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

833491-04-6 CAPLUS
3-Piperidineacetomitrile, 1-[[2-[1-[(3R)-3-(3,5-difluorophenyl)-3-[4-(methylaulfonyl)phenyl]propyl)-4-piperidinyl)ethyl)sulfonyl)- (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)

833491-01-3 CAPLUS
Pyrrolidine, 1-{|2-(1-{(3R)-3-(3,5-difluorophenyl)-3-{4-(methylsulfonyl)phenyl)propyl}-4-piperidinyl}ethyl|sulfonyl)-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

833491-02-4 CAPLUS 1H-1,4-Diazepine, 1-acetyl-4-[[2-[1-[(3R)-3-(3,5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl)propyl]-4-piperidinyl]ethyl]sulfonyl)hexahydro-[9C1] (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

833491-05-7 CAPLUS
1-Piperazinepropanol, 4-[[2-[1-[(3R)-3-(3,5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl)propyl]-4-piperidinyl]ethyl]sulfonyl)- (CA INDEX NAME)

Absolute stereochemistry

833491-06-8 CAPLUS
3-Pyrrolidinol, 1-{[2-[1-[(3R)-3-(3,5-difluoropheny1)-3-[4-(methylsulfony1)pheny1]propy1)-4-piperidiny1]ethy1]sulfony1]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

833491-07-9 CAPLUS
Pyrrolidine, 1-[[2-[1-[(3R)-3-(3.5-difluorophenyl)-3-[4-(methylsulfonyl)penyl)propyl)-4-piperidinyl]ethyl]sulfonyl]-3-fluoro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

833491-08-0 CAPLUS 2-Pyrrolidinecarbonitrile, 1-[[2-[1-[(3R)-3-(3.5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl]propyl]-4-piperidinyl]ethyl]sulfonyl]-, (2S)- (CA INDEX NAME)

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

833491-11-5 CAPLUS
Piperazine, 1-[(2-[1-[(3R)-3-(3,5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl)propyl)-4-piperidinyl]ethyl]sulfonyl)-4-(ethylsulfonyl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 833491-12-6 CAPLUS
CN Piperazine,
1-(cyclopropylcarbonyl)-4-[[2-{1-[(3R)-3-(3,5-difluorophenyl)-3-(4-(methylsulfonyl)phenyl]propyl}-4-piperidinyl]ethyl]sulfonyl}- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

833491-09-1 CAPLUS
1-Piperazinecarboxylic acid, 4-[[2-[1-[(3R)-3-(3,5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl)propyl)-4-piperidinyl]ethyl]sulfonyl]-, ethyl

Absolute stereochemistry.

(CA INDEX NAME)

833491-10-4 CAPLUS
Piperidine, 1-[[2-[1-[(3R)-3-(3.5-difluorophenyl)-3-[4-(methylaulfonyl)phenyl)propyl]-4-piperidinyl)ethyl)sulfonyl}-4-fluoro-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

833491-13-7 CAPLUS
Piperazine, 1-[[2-[1-[(3R)-3-(3.5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl]propyl]-4-piperidinyl]ethyl]sulfonyl]-4-(1-methylethyl)- (CA INDEX NAME)

Absolute stereochemistry.

833491-14-8 CAPLUS
Piperazine, 1-[(2-[1-[(3R)-3-(3,5-difluorophenyl)-3-[4-(methylaulfonyl)phenyl)propyl)-4-piperidinyl)ethyl)sulfonyl)-4-(2-propenyl)- (9Cl) (CA INDEX NAME)

833491-15-9 CAPLUS
3-Azabicyclo[3.1.0]hexane-6-methanol, 3-[[2-{1-{3-(3,5-difluorophenyl)-3-(4-(methylsulfonyl)phenyl]propyl)-4-piperidinyl)ethyl]sulfonyl]-, (1a,5a,6a)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

833491-16-0 CAPLUS
Piperidine, 1-[(2-[1-[(3R)-3-(3,5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl]propyl]-4-piperidinyl]ethyl]sulfonyl)-4-(trifluoromethyl)- (9Cl) (CA INDEX NAMÉ)

Absolute stereochemistry.

ANSWER 3 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

833491-32-0 CAPLUS
4-Piperidineethanesulfonyl chloride, 1-((3R)-3-(3,5-difluorophenyl)-3-[4-methylsulfonyl)phenyl)propyl)- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

FORMAT

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

833491-27-3P 833491-28-4P 833491-32-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperidine derivs. as chemokine receptor modulators)
833491-27-3 CAPLUS
1-Piperidinecarboxylic acid, 4-{{2-{1-{(3R)-3-(3,5-difluorophenyl)-3-{4-(methylsulfonyl)phenyl)propyl]-4-piperidinyl]ethyl]sulfonyl)-,
phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.

833491-28-4 CAPLUS
1-Piperidinecarboxylic acid, 4-[[2-[1-[(3R)-3-(3,5-difluorophenyl)-3-[1-(methylsulfonyl)-4-piperidinyl)propyl]-4-piperidinyl]ethyl]sulfonyl)-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 9
ACCESSION NUMBER:
DOCUMENT NUMBER:
111LE:
1NVENTOR(S):
Cumming, John; Faull, Alan; Fielding, Colin;

INVENTOR(S): Oldfield,

John; Tucker, Howard Astrazeneca AB, Swed. PCT Int. Appl., 118 pp. CODEN: PIXXD2 Patent English PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

		APPLICATION NO.	DATE
		WO 2003-SE2008	
		BA, BB, BG, BR, BW,	
		DM, DZ, EC, EE, EG,	
		IN, IS, JP, KE, KG.	
LK, LR, LS,	LT, LU, LV, MA,	MD, MG, MK, MN, MW,	MX, MZ, NI, NO,
NZ, OM, PG,	PH, PL, PT, RO,	RU, SC, SD, SE, SG,	SK. SL, SY, TJ,
		US, UZ, VC, VN, YU,	
		SD, SL, SZ, TZ, UG,	
		AT, BE, BG, CH, CY,	
ES, FI, FR,	GB, GR, HU, IE,	IT, LU, MC, NL, PT,	RO, SE, SI, SK,
TR, BF, BJ,	CF, CG, CI, CM,	GA, GN, GQ, GW, ML,	MR, NE, SN, TD,
TG			
CA 2508624	A1 20040708	CA 2003-2508624 AU 2003-288856	20031218
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		GB, GR, IT, LI, LU,	
IE, SI, LT,	LV, FI, RO, MK,	CY, AL, TR, BG, CZ,	EE, HU, SK
BR 2003017459	A 20051116	BR 2003-17459	20031218
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NO 2005003539	A 20050920	NO 2005-3539	20050719
ZA 2005004616	A 20060329	US 2005-539859 NO 2005-3539 ZA 2005-4616	20060116
PRIORITY APPLN. INFO.:		SE 2002-3821	A 20021220
		SE 2003-499	A 20030224
		SE 2003-1425	A 20030515

W 20031218

WO 2003-SE2008

MARPAT 141:106374

OTHER SOURCE(S):

11

AB The invention relates to a preparation of novel piperidine deriva. of formula I [wherein: A is absent or (CH2)2; R1 is alkyl, C(O)NH-alkyl, or CC2-alkyl, etc.; R2 is alkyl, Ph. heteroaryl, or cycloalkyl; R3 is H or alkyl; R4 is (heterolaryl or (cyclo)alkyl; X is O or S(O)0-2), useful as modulators of chemokine receptor CCR5. The invention compds. are claimed to be useful for the treatment of CCR5-mediated diseases such as autoimmune, inflammatory, or proliferative diseases. The invented compds. are also

value in inhibiting the entry of viruses (such as HIV) into target cells (no biol. data). The ability of the invention compds. to inhibit the binding of RANTES and MIP-1 α was assessed (certain compds, of formula I have IC50 < 50 μ M). For instance, Pic50 (neg. log of the IC50 result) for piperidine derivative II was determined as 6.91 (table

718610-20-9P 718610-33-4P 718610-40-3P

718610-42-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of novel piperidine derivs. as modulators of chemokine

ptor ccr5) 718610-20-9 CAPLUS Piperidine, 1-{(3R)-3-(3,5-difluorophenyl)-3-{4-

Absolute stereochemistry.

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

718610-42-5 CAPLUS
Acetic acid, [4-{[2-[1-[(3R)-3-(3,5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl)propyl)-4-piperidinyl)ethyl]sulfonyl)phenoxy)[9C1] (CA INDEX NAME)

Absolute stereochemistry.

718610-14-1P 718610-16-3P 718610-18-5P 718610-22-1P 718610-24-3P 718610-27-6P 718610-29-9P 718610-32-3P 718610-35-6P 718610-39-9P 718610-33-6P 718610-43-6P 718610-43-6P 718610-44-7P 718610-45-8P 718610-47-0P 718610-48-1P 718610-49-2P 718610-50-5P 718611-22-4P 718611-28-0P 718611-26-8P 718611-27-9P 718611-28-0P 718611-23-6P 718611-33-7P 718611-31-5P 718611-33-7P 718611-31-5P 718611-33-7P 718611-31-5P 718611-33-7P 718611-31-5P 718611-33-7P 718611-31-5P 718611-33-7P 718611-41-5P 718611-33-7P 718611-49-5P 718611-40-6P 718611-41-5P 718611-40-6P 718611-45-1P 718611-46-5P 718611-47-7P 718611-48-4P 718611-48-5P 718611-49-5P

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

718610-33-4 CAPLUS
Acetonitrile, [4-[[2-[1-[(3R)-3-(3.5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl)propyl)-4-piperidinyl)ethyl]sulfonyl]phenoxy]-(SCI) (CA INDEX NAME)

Absolute stereochemistry.

718610-40-3 CAPLUS Acetic acid, $\{4-\{[2-\{1-\{(3R)-3-(3.5-difluorophenyl)-3-\{4-(methylsulfonyl)phenyl)propyl\}-4-piperidinyl\}ethyl]sulfonyl]phenoxŷ]-, methyl ester (9C1) (CA INDEX NAME)$

Absolute stereochemistry.

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
718611-50-8P 718611-51-9P 718611-52-0P
718611-51-7 118611-54-2P 718611-55-3P
718611-51-7 118611-57-5P 718611-55-6P
718611-59-7 718611-60-0P 718611-61-1P
718611-62-2P 718611-63-3P 718611-61-1P
718611-62-2P 718611-63-3P 718611-67-7P
718611-68-8P 718611-63-3P 718611-67-7P
718611-71-3P 718611-72-4P 718611-70-2P
718611-71-3P 718611-72-4P 718611-70-2P
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718611-71-3P 718611-75-7P 718611-79-1P
718611-80-4P 718611-81-5P 718611-92-6P
718611-80-4P 718611-81-5P 718611-82-6P
718611-80-4P 718611-81-5P 718611-89-2P
718611-80-4P 718611-91-7P 718611-92-P
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718611-92-8P 718611-93-9P 718611-91-7P
718611-93-8P 718611-95-5P 718611-91-7P
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718612-01-2P 718612-03-6P 718612-03-4P
718612-10-3P 718612-03-6P 718612-03-4P
718612-16-9P 718612-03-6P 718612-03-P
718612-16-9P 718612-11-4P 718612-15-8P
718612-16-9P 718612-13-5P 718612-13-5P
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718612-23-3P 718612-33-5P 718612-31-0P
718612-33-3P 718612-33-5P 718612-31-0P
718612-33-3P
718612-33-3P 718612-33-5P 718612-31-0P
718612-33-3P
7186

(uses)
(prepn. of novel piperidine derivs. as modulators of chemokine receptor

ptor ccr5) 718610-14-1 CAPLUS Piperazine, 1-(methylsulfonyl)-4-(3-[4-[2-[4-(methylsulfonyl)phenyl]sulfonyl]ethyl]-1-piperidinyl]-1-phenylpropyl]-(CA INDEX NAME)

718610-16-3 CAPLUS
Piperidine.
-[(4-fluorophenyl)sulfonyl]ethyl]-1-[3-[1-(methylsulfonyl)4-piperidinyl]-3-phenylpropyl]- (9CI) (CA INDEX NAME)

(Continued)

RN 718610-18-5 CAPLUS
CN Benzamlde,
4-chloro-N-[(1S)-3-[4-{2-[[4-(methylsulfonyl)phenyl]sulfonyl]ethyl}-1-piperidinyl}-1-phenylpropyl}- (CA INDEX NAME)

Absolute stereochemistry.

718610-22-1 CAPLUS
Piperazine, 1-13-(4-(2-((4-fluorophenyl)sulfonyl]ethyl]-1-piperidinyl]-1-phenylpropyl]-4-(methylsulfonyl)- (CA INDEX NAME)

718610-24-3 CAPLUS
Piperidine, 4-[(1R)-1-(3,5-difluorophenyl)-3-[4-[2-[[4(methylsulfonyl)phenyl]sulfonyl]ethyl]-1-piperidinyl]propyl]-1(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS ON STN INDEX NAME) (Continued)

Absolute stereochemistry.

718610-35-6 CAPLUS
Piperidine, 1-{(3R)-3-(3,5-difluorophenyl)-3-{4-(methylsulfonyl)phenyl)propyl)-4-{2-[{4-(1H-tetrazol-5-ylmethoxy)phenyl)sulfonyl]ethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

718610-36-7 CAPLUS
Piperidine, 1-[(3R)-3-(3,5-difluoropheny1)-3-[4methylsulfony1)pheny1]propy1]-4-[2-[(4-(2-methyl-2H-tetrazol-5y1)pheny1]sulfony1)ethy1]- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS ON STN

RN 718610-27-6 CAPLUS CN Piperidine, 1-(methylsulfonyl)-4-[(1R)-3-[4-(2-[[4-

Absolute stereochemistry.

RN 718610-29-8 CAPLUS CN Piperidine, 1-(methylaulfonyl)-4-{(IR)-1-phenyl-3-{4-{2-([4-(IH-tetrazol-5-yl)phenyl)sulfonyl]ethyl}-1-piperidinyl)propyl}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

718610-32-3 CAPLUS Acetamide, 2-(4-([2-(1-((3R)-3-(3,5-difluorophenyl)-3-(4-(methylsulfonyl)phenyl)propyl)-4-piperidinyllethyl|sulfonyl)phenoxy|-RN CN

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

718610-38-9 CAPLUS
Piperidine, 1-[(3R)-3-(3,5-difluorophenyl)-3-(4(methylsulfonyl)phenyl)propyl)-4-[2-[(4-(1-methyl-1H-tetrazol-5yl)phenyl]sulfonyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

718610-43-6 CAPLUS
Accetamide, 2-[4-{[2-[1-{(3R)-3-(3,5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl]propyl]-4-piperidinyl]ethyl]sulfonyl]phenoxy}-N-(methylsulfonyl)- (CA INDEX NAME)

(Continued)

PAGE 1-B

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718610-44-7 CAPLUS Acetamide, 2-[4-[[2-[1-[(]R)-3-(3,5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl]propyl]-4-piperidinyl]ethyl]sulfonyl]phenoxy]-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

● HC1

RN 718610-48-1 CAPLUS
CN 2-Butenedioic acid (22)-, compd. with
1-[(3R)-3-(3,5-difluorophenyl)-3-[4-

(methylsulfonyl)phenyl)propyl)-4-[2-[[4-(methylsulfonyl)phenyl)sulfonyl)et
hyl)piperidine (9CI) (CA INDEX NAME)

CRN 718610-20-9 CMF C30 H35 F2 N O6 S3

Absolute stereochemistry.

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

718610-45-8 CAPLUS
Piperidine, 1-[(3R)-3-(3,5-difluorophenyl)-1-methyl-3-[4-

Absolute stereochemistry.

718610-47-0 CAPLUS
Piperidine, 1-[(3R)-3-(3,5-difluorophenyl)-3-[4-

Absolute stereochemistry.

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN CRN 110-16-7 CMF C4 H4 O4 (Continued)

Double bond geometry as shown.

718610-49-2 CAPLUS Butanedioic acid, compd. with 1-[(3R)-3-(3,5-difluorophenyl)-3-[4-

CM 1

CRN 718610-20-9 CMF C30 H35 F2 N O6 S3

Absolute stereochemistry.

CM 2

CRN 110-15-6 CMF C4 H6 O4

но2с-сн2-сн2-со2н

718610-50-5 CAPLUS Propanedioic acid, compd. with 1-[(3R)-3-(3.5-difluorophenyl)-3-[4-

CM 1

Absolute stereochemistry.

CM 2

CRN 141-82-2 CMF C3 H4 O4

но2с-сн2-со2н

718611-22-4 CAPLUS
Piperazine, 1-[3-[4-[2-[[4-(methylsulfonyl)phenyl]sulfonyl)ethyl]-1piperidinyl]-1-phenylpropyl]-4-(phenylsulfonyl)- (CA INDEX NAME)

718611-25-7 CAPLUS
Piperazine, 1-{3-{4-{2-{{4-(methylsulfonyl)phenyl}sulfonyl}ethyl}-1-piperidinyl}-1-phenylpropyl}-4-phenyl- (CA INDEX NAME)

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

$$\begin{array}{c} \text{Me} = \begin{bmatrix} \vdots \\ \vdots \\ \vdots \\ \vdots \\ \vdots \\ \text{CH-} \text{CH}_2 - \text{CH}_2 - \text{CH}_2 - \text{N} \end{bmatrix} \\ \begin{array}{c} \text{CH} \\ \text{CH-} \\ \text{CH-}$$

718611-30-4 CAPLUS
Piperidine, 4-[3-[4-[2-[(4-chloropheny1)sulfony1]ethy1]-1-piperidiny1]-1-phenylpropyl)-1-[(trifluoromethy1)sulfony1]- (9CT) (CA INDEX NAME)

RN 718611-31-5 CAPLUS
CN Piperidine.
1-(methylsulfonyl)-4-[1-phenyl-3-[4-[2-(phenylsulfonyl)ethyl]1-piperidinyl)propyl]- (9CI) (CA INDEX NAME)

718611-32-6 CAPLUS
Piperidine, 4-[3-[4-[2-[(4-methylphenyl)sulfonyl]ethyl]-1-piperidinyl]-1-phenylproyl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

718611-33-7 CAPLUS
Piperidine, 1-(methylsulfonyl)-4-[1-phenyl-3-[4-[2-[[4-(trifluoromethyl)phenyl]sulfonyl]ethyl]-1-piperidinyl]propyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 718611-26-8 CAPLUS
CN Piperazine,
1-(ethylsulfonyl)-4-[3-[4-{2-[[4-(methylsulfonyl)phenyl]sulfon
yl]ethyl)-1-piperidinyl}-1-phenylpropyl)- (CA INDEX NAME)

718611-27-9 CAPLUS
Piperazine, 1-3-[4-[2-[(4-fluorophenyl)sulfonyl]ethyl]-1-piperidinyl]-1-phenylropyl)-4-phenylropyl)-4-phenylropyl)-4-phenylropyl-4-phenyl

718611-29-1 CAPLUS
Piperidine, 4-(3-(4-[2-[(4-chlorophenyl)sulfonyl]ethyl])-1-piperidinyl]-1-phenylpropyl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 718611-34-8 CAPLUS
CN Piperidine,
4-[3-[4-[2-[4-methoxypheny1]sulfony1]ethy1]-1-piperidiny1]-1-phenylpropy1]-1-(methylsulfony1)- (9C1) (CA INDEX NAME)

718611-35-9 CAPLUS
Piperidine, 4-[3-[4-[2-[(4-cyanophenyl)sulfonyl]ethyl]-1-piperidinyl]-1-phenylproyl]-1-[methylsulfonyl]- 19C1) (CA INDEX NAME)

Absolute stereochemistry.

718611-38-2 CAPLUS
Piperazine, 1-[(1R)-3-{4-[2-[(4-(methylsulfonyl)phenyl]sulfonyl)ethyl}-1piperidinyl}-1-phenylpropyl]-4-phenyl- (CA INDEX NAME)

718611-39-3 CAPLUS
Piperazine, 1-[(1S)-3-[4-[2-[[4-(methylsulfonyl)phenyl)sulfonyl]ethyl]-1piperidinyl)-1-phenylpropyl)-4-phenyl- (CA INDEX NAME)

718611-40-6 CAPLUS
Piperidine, 4-((1R)-3-(4-(2-((4-fluorophenyl)sulfonyl)ethyl)-1piperidinyl)-1-phenylpropyl)-1-(methylsulfonyl)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

$$\mathsf{Me}^{\mathsf{S}} \overset{\mathsf{N}}{\underset{\mathsf{Ph}}{\bigvee}} \overset{\mathsf{N}}{\underset{\mathsf{Ph}}{\bigvee}} \overset{\mathsf{N}}{\underset{\mathsf{N}}{\bigvee}} \overset{\mathsf{N}}{\underset{\mathsf{N}}} \overset{\mathsf{N}$$

718611-41-7 CAPLUS
Piperidine. 4-((1S)-3-(4-[2-((4-fluorophenyl)sulfonyl)ethyl)-1piperidinyl)-1-pinylpropyl}-1-(methylsulfonyl)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

718611-42-8 CAPLUS
Piperazine,
methylsulfonyl)-4-[1-phenyl-3-(4-[2-(phenylsulfonyl)ethyl)1-piperidinyl]propyl]- (CA INDEX NAME)

$$\begin{picture}(20,0) \put(0,0){\line(1,0){100}} \put(0,0){\line(1,0){100$$

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

718611-48-4 CAPLUS
Piperazine, 1-[3-[4-[2-[(4-methylphenyl)sulfonyl]ethyl]-1-piperidinyl)-1-phenylpropyl]-4-[(trifluoromethyl)sulfonyl]- (CA INDEX NAME)

$$F_3C - S \longrightarrow Ph \qquad CH_2 - CH_2 - S \longrightarrow CH_2 - CH_2 - CH_2 - S \longrightarrow CH_2 - CH_2 -$$

718611-49-5 CAPLUS
Piperazine,
1-phenyl-3-[4-[2-[(4-(trifluoromethyl)phenyl]sulfonyl]ethyl
|-1-piperidinyl]propyl]-4-[(trifluoromethyl)sulfonyl)- (CA INDEX NAME)

718611-50-0 CAPLUS
Piperazine, 1-[1-phenyl-3-[4-[2-(phenylsulfonyl)ethyl]-1piperidinyl]propyl]-4-((trifluoromethyl)sulfonyl)- (CA INDEX NAME)

718611-51-9 CAPLUS
Piperazine, 1-(3-(4-(2-((4-chlorophenyl)sulfonyl]ethyl)-1-piperidinyl]-1-phenylpropyl)-4-(methylsulfonyl)- (CA INDEX NAME)

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Con 718611-43-9 CAPLUS Piperazine, 1-[1-phemyl-3-(4-(2-[phemylsulfonyl)ethyl)-1-piperidinyl)propyl)-4-(phemylsulfonyl)- (CA INDEX NAME)

RN 718611-44-0 CAPLUS
CN Piperazine,
1-[3-[4-[2-[(4-methoxypheny1)sulfony1]ethy1]-1-piperidiny1]-1-phenylpropy1]-4-(methylsulfony1)- (CA INDEX NAME)

$$\begin{picture}(20,0) \put(0,0){\line(0,0){100}} \put(0,0){\line(0,0){100$$

718611-45-1 CAPLUS

RN 718611-45-1 CAPLUS
CN Piperazine,
1-{3-[4-[2-[4-methoxypheny1)sulfony1]ethy1]-1-piperidiny1]-1phenylpropy1]-4-[(trifluoromethy1)sulfony1]- (CA INDEX NAME)

718611-46-2 CAPLUS
Piperazine, 1-(methylsulfonyl)-4-[1-phenyl-3-[4-[2-[[4-(trifluoromethyl)phenyl]sulfonyl]ethyl]-1-piperidinyl)propyl}- (CA INDEX NAME)

$$\begin{picture}(20,0) \put(0,0){\line(1,0){100}} \put(0,0){\line(1,0){100$$

718611-47-3 CAPLUS
Piperazine, 1-[3-[4-[2-[(4-methylphenyl)sulfonyl]ethyl]-1-piperidinyl]-1-phenylpropyl]-4-(methylsulfonyl)- (CA INDEX NAME)

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

718611-52-0 CAPLUS
Piperazine, 1-(phenylsulfonyl)-4-[1-phenyl-3-[4-[2-[4-(trifluoromethyl)phenyl]sulfonyl]ethyl)-1-piperidinyl]propyl}- (CA INDEX NAME)

718611-53-1 CAPLUS
Piperazine, 1-[3-[4-[2-[(4-fluoropheny1)sulfony1]ethyl]-1-piperidiny1}-1-pheny1propy1]-4-[(trifluoromethy1)sulfony1]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

718611-54-2 CAPLUS
Piperazine, 1-[3-[4-{2-[(4-chlorophenyl)sulfonyl]ethyl]-1-piperidinyl]-1-phenylpropyl]-4-[(trifluoromethyl)sulfonyl]- (CA INDEX NAME)

718611-55-3 CAPLUS
Piperazine, 1-(3-[4-(methylsulfonyl)phenyl)sulfonyl)ethyl)-1piperidinyl)-1-phenylpropyl}-4-[(trifluoromethyl)sulfonyl)- (CA INDEX

718611-56-4 CAPLUS
1-Piperidinesulfonamide, N,N-dimethyl-4-[3-[4-[2-[[4(methylsulfonyl)phenyl)sulfonyl)ethyl]-1-piperidinyl]-1-phenylpropyl](CA INDEX NAME)

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

718611-57-5 CAPLUS
Benzeneacetamide, 4-[[2-[1-[3-[1-(methylsulfonyl)-4-piperidinyl]-3-phenylpropyl]-4-piperidinyl]ethyl]sulfonyl]- (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

718611-58-6 CAPLUS
Piperidine, 1-(methylsulfonyl)-4-[3-[4-[2-[[4[(methylsulfonyl)methyl]phenyl]sulfonyl)ethyl]-1-piperidinyl]-1phenylpropyl]- (9CI) (CA INDEX NAME)

PAGE 1-B

RN 718611-59-7 CAPLUS

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

718611-63-3 CAPLUS
Piperidine, 1-(methylsulfonyl)-4-[(1R)-3-[4-[2-[[4(methylsulfonyl)phenyl]sulfonyl]ethyl]-1-piperidinyl]-1-[3(trifluoromethyl)phenyl]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

718611-64-4 CAPLUS
Piperidine, 4-{(1R)-1-(3,5-difluorophenyl)-3-[4-{2-[(4-methoxyphenyl)sulfonyl]ethyl}-1-piperidinyl]propyl}-1-(methylsulfonyl)-(9C1) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSMER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Cont Benzamide, 4-[[2-[1-[3-[1-(methylsulfonyl)-4-piperidinyl]-3-phenylpropyl]-4-piperidinyl]ethyl]sulfonyl]- (CA INDEX NAME)

718611-60-0 CAPLUS
Piperidine,
-[4-{2-[4-hydroxyphenyl]sulfonyl]ethyl]-1-piperidinyl}-1phenylpropyl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

718611-61-1 CAPLUS
Piperidine, 1-(methylsulfonyl)-4-(3-[4-(2-[{4-

[(methylsulfonyl)oxy]phenyl]sulfonyl]ethyl]-1-piperidinyl]-1-phenylpropyl). (9C1) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} - \overset{\circ}{\underset{\circ}{\text{N}}} \overset{\circ}{\underset{\overset$$

718611-62-2 CAPLUS 1-Piperidinesulfonamide, 4-[(1R)-1-(3,4-difluorophenyl)-3-[4-[2-[[4-10]]] -3-[4-[2-1]] -3-[4-[4-1]] -3

(methylsulfonyl)phenyl)sulfonyl)ethyl)-1-piperidinyl)propyl}-N,N-dimethyl-(CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 718611-65-5 CAPLUS
CN Piperidine.
1-(methylsulfonyl)-4-{(1R)-3-[4-{2-[{4-{2-methyl-2H-tetrazol-5-yl)phenyl}sulfonyl]ethyl}-1-piperidinyl}-1-phenylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 718611-66-6 CAPLUS
CN Piperidine,
-(-(methylsulfonyl)-4-[(1R)-]-[4-[2-[[4-[(2-methyl-2H-tetrazol5-y])methoxy]phenyl]sulfonyl]ethyl]-1-piperidinyl]-1-phenylpropyl]- (9CI)
(CA INDEX NAME)

RN 718611-67-7 CAPLUS
CN Piperidine,
1-(methylsulfonyl)-4-{(1R)-3-{4-[2-[4-[(1-methyl-lH-tetrazol-5-yl)methoxy]phenyl}sulfonyl]ethyl]-1-piperidinyl]-1-phenylpropyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

718611-68-8 CAPLUS Propanamide, 3,3,3-trifluoro-N-[(1S)-3-{4-{2-[{4-(methylsulfonyl)phenyl}sulfonyl}ethyl]-1-piperidinyl}-1-phenylpropyl}-(CA INDEX NAME)

Absolute stereochemistry.

718611-69-9 CAPLUS
Propanamide, 3,3,3-trifluoro-N-[(IS)-3-[4-[2-[(4-methylphenyl)sulfonyl]ethyl]-1-piperidinyl]-1-phenylpropyl]- (CA INDEX NAME)

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

718611-73-5 CAPLUS

Absolute stereochemistry.

718611-74-6 CAPLUS
Piperidine, 4-[2-[[4-(methylsulfonyl)phenyl)sulfonyl]ethyl]-1-[(3R)-3-[4-(methylsulfonyl)phenyl]-3-[2-thienyl)propyl)- (CA INDEX NAME)

Absolute stereochemistry.

71861:-75-7 CAPLUS
Piperidine, 4-[2-[[4-(methylsulfonyl)phenyl]sulfonyl]ethyl)-1-[(3R)-3-[4-(methylsulfonyl)phenyl]-3-(3-thienyl)propyl)- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

718611-70-2 CAPLUS
Propanamide, 3,3,3-trifluoro-N-[(1S)-3-[4-[2-[(4-fluorophenyl)sulfonyl]ethyl]-1-piperidinyl]-1-phenylpropyl]- (CA INDEX NAME)

Absolute stereochemistry.

718611-71-3 CAPLUS BUCANAMIG, 4,4,4-trifluoro-N-[{1S}-3-[4-[2-[{4-(methylsulfonyl)phenyl}sulfonyl]ethyl}-1-phenylpropyl]-(CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

718611-72-4 CAPLUS
Cyclobutanecarboxamide, 3,3-difluoro-N-[(1S)-3-[4-[2-[[4-(methylsulfonyl)phenyl]sulfonyl]ethyl]-1-piperidinyl]-1-phenylpropyl]-(CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

718611-76-8 CAPLUS

Absolute stereochemistry.

718611-77-9 CAPLUS
Piperidine, 4-[2-[(4-fluorophenyl)sulfonyl]ethyl]-1-[(3S)-3-[4-(methylsulfonyl)phenyl]-3-phenylpropyl]- (CA INDEX NAME)

Absolute stereochemistry.

718611-78-0 CAPLUS Piperidine, 1-[(3R)-3-(5-chloro-2-thienyl)-3-[4-

718611-79-1 CAPLUS Piperidine, 1-{(3R)-3-(4-chloro-2-thienyl)-3-[4-

Absolute stereochemistry.

RN CN

718611-80-4 CAPLUS
Piperidine, 1-[(3R)-3-(3,5-difluorophenyl)-3-[4methylsulfonyl)phenyl]propyl]-4-[2-[(4-fluorophenyl)sulfonyl]ethyl]-(CA

INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

718611-83-7 CAPLUS
Piperidine, 1-(JR)-3-(J,5-difluorophenyl)-3-[4(methylsulfonyl)phenyl]propyl}-4-[2-[(4-nitrophenyl)sulfonyl]ethyl](CA
INDEX NAME)

Absolute stereochemistry.

718611-84-8 CAPLUS Piperidine, 1-[(3R)-3-(3,5-difluorophenyl)-3-(4-

(methylsulfonyl)phenyl]propyl]-4-[2-{[4-(trifluoromethoxy)phenyl]sulfonyl]
 ethyl)- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

718611-81-5 CAPLUS
Piperidine, 1-[(3R)-3-(3,5-difluorophenyl)-3-[4(methylsulfonyl)phenyl)propyl]-4-[2-(phenylsulfonyl)ethyl]- (CA INDEX
NAME)

(Continued)

Absolute stereochemistry.

718611-82-6 CAPLUS
Piperidine, 1-[(3R)-3-(3,5-difluorophenyl)-3-[4(methylsulfonyl)phenyl]propyl]-4-[2-[(4-methoxyphenyl)sulfonyl]ethyl](CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

718611-85-9 CAPLUS
Acetamide, N-[4-{[2-{1-{(3R)-3-(3.5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl}propyl]-4-piperidinyl]ethyl]sulfonyl)phenyl}- (CA INDEX NAME)

Absolute stereochemistry.

718611-86-0 CAPLUS
Benzenamine, 4-[{2-[1-[(3R)-3-(3,5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl)propyl)-4-piperidinyl)ethyl)sulfonyl)- (CA INDEX NAME)

718611-87-1 CAPLUS Methanesulfonamide, N-[4-[[2-[1-[(3R)-3-(3,5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl]propyl]-4-piperidinyl]ethyl]sulfonyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

718611-88-2 CAPLUS Urea, N-{4-[{2-(1-(3R)-3-(3.5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl]propyl]-4-piperidinyl]ethyl]sulfonyl]phenyl]-N'-phenyl- (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

718611-91-7 CAPLUS
Phenol, 4-[(2-(1-((3R)-3-(3,5-difluorophenyl)-3-(4-methylaulfonyl)phenyl)propyl)-4-piperidinyl]ethyl|sulfonyl)-,
4-methylbenzenesulfonate (ester) (9CI) (CA INDEX NAME)

PAGE 1-B

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

718611-89-3 CAPLUS
Phenol, 4-[(2-[1-((3R)-3-(3.5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl)propyl)-4-piperidinyl]ethyl[sulfonyl]- (CA INDEX NAME)

718611-90-6 CAPLUS
Phenol, 4-[(2-[(3R)-3-(3,5-difluorophenyl)-3-[4(methylsulfonyl)phenyl]propyl]-4-piperidinyl]ethyl]sulfonyl]-,
methanssulfonate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) 718611-92-8 CAPLUS Piperidine, I=[(3R)-3-(3,5-difluorophenyl)-3-(4-(methylsulfonyl)phenyl]propyl)-4-[2-[(4-[(2-methyl-2H-tetrazol-5-yl)methoxy]phenyl]sulfonyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

718611-93-9 CAPLUS
Piperidine, 1-[(]R)-3-(],5-difluorophenyl)-3-(4(methylgulfonyl)phenyl]propyl]-4-[2-[[4-[(1-methyl-]H-tetrazol-5yl)methoxy]phenyl]sulfonyl]ethyl]- (CA INDEX NAME)

718611-94-0 CAPLUS
Piperidine. 1-(methylsulfonyl)-4-((1R)-1-phenyl-3-(4-(((phenylmethyl)sulfonyl)methyl)-1-piperidinyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

718611-95-1 CAPLUS
Piperidine, 4-[((4-methoxyphenyl)methyl)sulfonyl]methyl]-1-[(3R)-3-[1-(methylsulfonyl)-4-piperidinyl]-3-phenylpropyl]- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

718611-96-2 CAPLUS
Piperidine, 4-(IR)-3-(4-([((4-methylphenyl)methyl)sulfonyl)methyl)-1piperidinyli-1-pinenylpropyl)-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

718612-00-1 CAPLUS
Piperazine, 1-(methylsulfonyl)-4-((1S)-3-{4-{{{4-{{{4-{{methylsulfonyl})phenyl}methyl}sulfonyl}methyl}-1-piperidinyl}-1-phenylpropyl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 718612-01-2 CAPLUS
CN Piperazine,
1-((1S)-3-[4-[([(4-(methylsulfonyl)phenyl]methyl]sulfonyl)meth
yl)-1-piperidinyl)-1-phenylpropyl)-4-((trifluoromethyl)sulfonyl)INDEX NAME)
(CA

Absolute stereochemistry.

718612-02-3 CAPLUS
Piperidine, 4-(1(R)-3-(4-([((4-cyanophenyl)methyl]sulfonyl)methyl]-1piperidinyl]-1-phenylpropyl}-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS ON STN (Continued)

718611-97-3 CAPLUS
Piperidine, 4-[(1R)-3-[4-{[[(4-fluorophenyl)methyl]sulfonyl]methyl]-1-piperidinyl]-1-phenylpropyl)-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\bigcap_{\mathsf{Me}} \bigcap_{\mathsf{Ph}} \bigcap_{\mathsf{N}} \bigcap_{\mathsf{O}} \bigcap_{\mathsf{O}} \bigcap_{\mathsf{P}} \bigcap_{\mathsf{P}}$$

718611-98-4 CAPLUS
Piperidine, 1-(methylsulfonyl)-4-((IR)-3-{4-({{4-(methylsulfonyl)phenyl]methyl}sulfonyl]methyl}-1-piperidinyl}-1-phenylpropyl)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

718611-99-5 CAPLUS
Piperidine, 4-({|R|-1-(3,5-difluorophenyl)-3-[4-{[{[4-(methylsulfonyl)phenyl]methyl]sulfonyl)methyl]ropyl}-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) Absolute stereochemistry.

718612-03-4 CAPLUS
Benzamide, 4-[[[[1-[(3R)-3-[1-(methylsulfonyl)-4-piperidinyl]-3-phenylpropyl]-4-piperidinyl]methyl]sulfonyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry.

718612-04-5 CAPLUS
Cyclohexanecarboxamide, 4,4-difluoro-N-[(1S)-3-[4-[([4-(methylsulfonyl)phenyl]methyl]sulfonyl]methyl]-1-piperidinyl}-1-phenylpropyl]- (CA INDEX NAME)

Absolute stereochemistry.

718612-05-6 CAPLUS Piperidine, 1-((3R)-3-(3,5-difluorophenyl)-3-(4-

RN 718612-06-7 CAPLUS
CN Piperidine, 1-[(3S)-3-[4-(methylsulfonyl)phenyl]-3-phenylpropyl]-4[[(phenylmethyl)sulfonyl]methyl)- (CA INDEX NAME)

Absolute stereochemistry.

RN 718612-07-8 CAPLUS CN Piperidine, 1-((3R)-3-(3,5-difluoropheny1)-3-(4-

Absolute stereochemistry.

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

N 718612-10-3 CAPLUS
N Pyridine, 5-[(1S)-1-(3,5-difluorophenyl)-3-[4-[[[4-(methylsulfonyl)phenyl)methyl)sulfonyl]methyl]-1-piperidinyl]propyl]-2-(trifluoromethyl)- (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

RN 718612-08-9 CAPLUS
CN Benzonitrile, 4-[[[1-{(3R)-3-(3,5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl]propyl]-4-piperidinyl]methyl]sulfonyl)methyl](CA

(Continued)

Absolute stereochemistry.

RN 718612-09-0 CAPLUS
CN Benzamide, d-[[[[1-([3R)-3-(3,5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl)propyl)-4-piperidinyl]methyl]sulfonyl)methyl](CA
INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 718612-12-5 CAPLUS
CN Pyridine, 2-[[[[1-[[3R]-3-(3,5-difluorophenyl)-3-[4(methylsulfonyl)phenyl]propyl]-4-piperidinyl]methyl]sulfonyl)methyl]-

Absolute stereochemistry.

718612-14-7 CAPLUS Piperidine, 1-{(3R)-3-(3,5-difluorophenyl)-3-[4-

(methylsulfonyl)phenyl)propyl]-4-[2-[[4-[(methylsulfonyl)methyl]phenyl]sul fonyl)ethyl]- (CA INDEX NAME)

(Continued)

Absolute stereochemistry.

718612-15-8 CAPLUS
Piperidine, 1-((3R)-3-(3,5-difluorophenyl)-3-(4(methylsulfonyl)phenyl)propyl)-4-(2-((3-fluorophenyl)sulfonyl)ethyl)-(CA

INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

718612-18-1 CAPLUS
Piperidine, 4-[2-((3-chlorophenyl)sulfonyl)ethyl)-1-((3R)-3-(3,5-difluorophenyl)-3-(4-(methylsulfonyl)phenyl)propyl)- (CA INDEX NAME)

Absolute stereochemistry.

718612-19-2 CAPLUS Piperidine, 1-[(3R)-3-(3,5-difluorophenyl)-3-(4-

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

718612-16-9 CAPLUS
Pyridine, 5-{(18)-1-(3,5-difluoropheny1)-3-{4-{2-{4-(4-(methylsulfony1)pheny1)sulfony1)ethyl}-1-piperidiny1)propy1}-2-(trifluoromethyl)- (CA INDEX NAME)

Absolute stereochemistry.

718612-17-0 CAPLUS Piperidine, 1-[(3R)-3-(3-chloro-5-fluorophenyl)-3-[4-

Absolute stereochemistry.

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

718612-20-5 CAPLUS
Piperidine, 1-((3R)-3-(3,5-difluorophenyl)-3-[4(methylsulfonyl)phenyl)propyl]-4-[2-[(2,4-difluorophenyl)sulfonyl)ethyl](CA INDEX NAME)

Absolute stereochemistry.

718612-21-6 CAPLUS Piperidine, $1-(3R)-3-(3.5-difluoropheny1)-3-\{4-(methylsulfony1)pheny1|propy1|-4-[2-[(3.4-difluoropheny1)sulfony1]ethy1]-(CA INDEX NAME)$

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

718612-22-7 CAPLUS
Piperidine, 1-[3-[3-(methylsulfonyl)phenyl]-3-phenylpropyl]-4-[2-[[4-(methylsulfonyl)phenyl]sulfonyl)ethyl}- (CA INDEX NAME)

718612-23-8 CAPLUS
Phenol, 3-[12-[1-[(3R)-3-(3.5-difluorophenyl)-3-[4(methylsulfonyl)phenyl)propyl]-4-piperidinyl]ethyl]sulfonyl](CA INDEX
NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)

PAGE 1-B

718612-26-1 CAPLUS Piperidine, 1-[(3R)-3-(3,5-difluorophenyl)-3-[4-

(methylsulfonyl)phenyl)propyl)-4-{2-{(3,4-dimethoxyphenyl)sulfonyl]ethyl}-(CA INDEX NAME)

Absolute stereochemistry

718612-27-2 CAPLUS
Piperidine, 1-[(3R)-3-(3,5-difluorophenyl)-3-(tetrahydro-2H-pyran-4yl)propyl)-4-[2-[[4-(methylsulfonyl)phenyl]sulfonyl]ethyl](CA INDEX
NAME)

Absolute stereochemistry.

(Continued)

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS ON STN (Continue 718612-24-9 CAPLUS Phenol, 3-[12-[1-1(3R)-3-(3,5-difluorophenyl)-3-[4-methylaulfonyl)phenyl]propyl]-4-piperidinyl]ethyl]sulfonyl), methanesulfonate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

718612-25-0 CAPLUS
Phenol, 3-[[2-[1-[(3R)-3-(3.5-difluorophenyl)-3-[4(methylsulfonyl)phenyl]propyl]-4-pheridinyl]ethyl]sulfonyl]-,
4-methylbenzenesulfonate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

718612-28-3 CAPLUS
Piperidine, 1-[(3R)-3-(3,5-difluorophenyl)-3-(tetrahydro-2H-thiopyran-4-yl)propyl)-4-[2-[(4-(methylsulfonyl)phenyl]sulfonyl)ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

718612-29-4 CAPLUS Piperidine, 4-[2-[4-(methylsulfonyl)phenyl]sulfonyl]ethyl]-1-[(3R)-3-phenyl-3-(tetrahydro-2H-pyran-4-yl)propyll- (CA INDEX NAME)

Absolute stereochemistry.

RN 718612-30-7 CAPLUS
CN Piperidine.
4-[2-[(3-chloro-4-fluorophenyl)sulfonyl]ethyl]-1-[(3R)-3-(3,5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl)propyl]- (CA INDEX NAME)

718612-31-8 CAPLUS
Piperidine, 4-[(1R)-3-[4-(2-(cyclohexylsulfonyl)ethyl]-1-piperidinyl]-1-phenylpropyl}-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

718612-32-9 CAPLUS
Piperidine, 1-(methylsulfonyl)-4-[(1R)-3-[4-(2-(methylsulfonyl)ethyl]-1-phenidinyl)-1-phenylpropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

718612-33-0 CAPLUS
Piperidine, 1-(methylsulfonyl)-4-[(1R)-1-phenyl-3-[4-[2-(3-pyridinylsulfonyl)-thyl]-1-piperidinyl]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

718612-37-4 CAPLUS
Piperidine, 1-[(]R)-3-{3,5-difluorophenyl}-3-[4(methylsulfonyl)phenyl)propyl]-4-[2-[(5-methyl-1,3,4-thiadiazol-2yl)sulfonyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

718612-38-5 CAPLUS
Piperidine, 1-[(3R)-3-(3,5-difluorophenyl)-3-[4(methylsulfomyl)phenyl)propyl]-4-[2-[(1-methyl-1H-imidazol-2yl)sulfomyl]ethyl)- (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 718612-34-1 CAPLUS
CN Piperidine,
-1-(methylaulfonyl)-4-[(1R)-3-[4-[2-[(5-methyl-1,3,4-thiadiazol-2-yl)sulfonyl)ethyl]-1-piperidinyl]-1-phenylpropyl]- (9CI)
NAME!

Absolute stereochemistry.

RN 718612-35-2 CAPLUS
CN Piperidine,
4-[(1R)-3-[4-[2-[(1-methyl-1H-imidazol-2-yl)sulfonyl]ethyl]-1piperidinyl]-1-phenylpropyl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

718612-36-3 CAPLUS
Pyridine, 3-[12-[1-[(3R)-3-(3,5-difluorophenyl)-3-[4(methylsulfonyl)phenyl)propyl)-4-piperidinyl)ethyl)sulfonyl)- (CA INDEX
NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

718612-39-6 CAPLUS
Pyridine, 5-[[2-[1-[(3R)-3-(3,5-difluorophenyl)-3-[4-(methylsulfonyl)phenyl]propyl]-4-piperidinyl]ethyl]sulfonyl]-2-methyl-(CA INDEX NAME)

Absolute stereochemistry.

718612-43-2 CAPLUS
Piperidine, 1-(methylsulfonyl)-4-[1-phenyl-3-[4-[2-[[4-(1H-tetrazol-5yl)phenyl]sulfonyl]ethyl]-1-piperidinyl]propyl)- (9CI) (CA INDEX NAME)

IT 718610-28-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of novel piperidine derivs. as modulators of chemokine receptor

ptor ccr5) 718610-28-7 CAPLUS Piperidine, 4-((1R)-3-{4-{2-{(4-hydroxyphenyl)sulfonyl}ethyl}-1-piperidinyl-1-phenylpropyl}-1-(methylsulfonyl)- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

718610-15-2P 718610-19-6P 718610-23-2P 718610-30-1P 718610-66-3P 718610-67-4P 718610-69-6P 718611-16-6P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of novel piperidine derivs. as modulators of chemokine

receptor

pcor ccr5) 718610-15-2 CAPLUS Piperidine, 1-(3-chloro-3-phenylpropyl)-4-[2-[[4-(mechylsulfonyl)phenyl]sulfonyl]ethyl]- (CA INDEX NAME)

718610-19-6 CAPLUS
1-Fiperidinepropanamine, 4-[2-[[4-(methylsulfonyl)phenyl]sulfonyl]ethyl]a-phenyl-, (aS)- (CA INDEX NAME)

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

718610-67-4 CAPLUS
1-Propanone, 3-{4-{2-{[4-(methylsulfonyl)phenyl]sulfonyl]-1-piperidinyl}-1-phenyl- (CA INDEX NAME)

718610-69-6 CAPLUS
1-Piperidinepropanol, 4-(2-[(4-fluorophenyl)sulfonyl]ethyl}-a-phenyl-, (aS)- (CA INDEX NAME)

RN 718611-16-6 CAPLUS
CN Carbamic acid,
[(1S)-3-[4-12-[(4-(methylsulfonyl)phenyl)sulfonyl]ethyl]-1piperidinyl)-1-phenylpropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.

718610-23-2 CAPLUS
Piperidine, 1-(3-chloro-3-phenylpropyl)-4-[2-[(4-fluorophenyl)sulfonyl]ethyl]- (CA INDEX NAME)

RN 718610-30-1 CAPLUS
CN Piperidine.
4-{(1R)-3-[4-[2-[(4-cyanophenyl]sulfonyl]ethyl]-1-piperidinyl]1-phenylpropyl)-1-(methylsulfonyl)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

71B610-66-3 CAPLUS
1-Fiperidinepropanol, 4-(2-[[4-(methylsulfonyl)phenyl]sulfonyl]ethyl]α-phenyl- (CA INDEX NAME)

ANSWER 4 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

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L4 ANSWER 5 OF 9
ACCESSION NUMBER:
DOCUMENT NUMBER:
140:71043
Combination treatment for depression and anxiety by
NXI and NX3 antagonists
Soblov-Jaynes, Susan Beth; Lowe, John Adams, III;
McLean, Stafford
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                                                       DATE
                                                                            APPLICATION NO.
       PRIORITY APPLN. INFO.:
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MARPAT 140:71043

OTHER SOURCE(S): AB The inventi R SOURCE(S): MARPAT 140:71043
The invention discloses a method for treating depression or anxiety in a mammal, including a human, by administering to the mammal a CNS-penetrant NKI receptor antagonist (e.g., a substance P receptor antagonist) in combination with an NKI antagonist agent. It also relates to pharmaceutical compns. containing a pharmaceutically acceptable carrier,

WO 2003-IB2516

w 20030610

CNS-penetrant NK1 receptor antagonist and an NK3 antagonist.
204642-49-9 204642-56-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(NK1 and NK3 antagonist combination treatment for depression and

anxiety) 204642-49-9 CAPLUS

204642-49-9 (APDE)
Piperidine 1-benzoyl-3-(3,4-dichlorophenyl)-3-(3-[4-hydroxy-4[(phenylsulfonyl)methyl)-1-piperidinyl)propyl]-, monohydrochloride, (3S)(SCI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSMER 6 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:252956 CAPLUS

DOCUMENT NUMBER: 136:273215

Combination of an NR-3 receptor antagonist and a CNS-penetrant NR-1 receptor antagonist for treating depression and anxiety

Love, John Adams, III; McLean, Stafford; Sobolov-Jaynes, Susan Beth

PATENT ASSIGNEE(S): Pizer Products Inc., USA

Eur. Pat. Appl., 65 pp.

CODEN: EPXXDM

DOCUMENT TYPE:

DOCUMENT TYPE: Patent English 1

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

OTHER SOURCE(S): MARPAT 136:273215

AB A composition for the treatment of anxiety or depression in a mammal, including

uding a human, comprises (a) an NK-3 receptor antagonist or its salt, (b) a CNS-penetrant NK-1 receptor antagonist or its salt, and (c) a pharmaceutically acceptable carrier. When administered in combination, either as a single or as sep, pharmaceutical composition(s), the

CNS-penetrant Penetrant
NK-1 receptor antagonist and an NK-3 antagonist, are presented in a ratio
which is consistent with the manifestation of the desired effect. In
particular, the ratio by weight of the CNS-penetrant NK-1 receptor

particular, the racio by weight of the CNS-penetrant NK-1 receptor antagonist
and the NK-3 antagonist will suitably be between 0.001:1 to 1000:1, and especially between 0.001:1 and 100:1.

IT 204642-49-9 204642-56-8
RL: THU (Therspeuttc use); BIOL (Biological study); USES (Uses) (Combination of NK3 receptor antagonist and CNS-penetrant NK1 receptor antagonist for treating depression and anxiety)
RN 204642-49-9 CAPIUS
CN Piperidine, 1-benzoyl-3-(3,4-dichlorophenyl)-3-[3-(4-hydroxy-4-([(penylsulfonyl)methyl)-1-piperidinyl)propyl]-, monohydrochloride, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 5 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

204642-56-8 CAPLUS Piperidine, 1-benzoyl-3-(3,4-dichlorophenyl)-3-[3-[4-hydroxy-4-[(phenylsulfonyl)methyl)-1-piperidinyl)propyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

ANSWER 6 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

• HC1

204642-56-8 CAPLUS
Piperidine, 1-benzoyl-3-(3,4-dichlorophenyl)-3-(3-[4-hydroxy-4[(phenylsulfonyl)methyl)-1-piperidinyl]propyl)- (9C1) (CA INDEX NAME)

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:188046 CAPLUS
DOCUMENT NUMBER: 1309:188046 CAPLUS
DOCUMENT NUMBER: 1309:188046 CAPLUS
TITLE: Syntheses and biological activities of chiral piperidines-tachykinin NK3 antagonists
Chen. Michael H.: Chung. Pu-Zon; Roth. Bruce D.; Kuo, Be-Sheng, Atherton, James; Lee, Helen T.
Parke-Davis Pharmaceutical Research Division, Warner-Lambert Company, Ann Arbor. MI, 48105, USA
Zhongquo Yaoli Xuebao (1999), 2013), 283-288
CODEN: CYLPDN: ISSN: 0253-9756
XEXUMENT TYPE: Journal
LANGUNGE: English
AB To develop nonepetide tachykinin NK3 antagonists. Five tachykinin NK3 antagonists were synthesized. Receptor binding assay and oral absorption study were made. Thus; 4.4-disubstituted piperidine compds. showed stronger activities (ICSO = 5.9, 6.2, and II mmol.L-1, resp.) than the monosubstituted ring compound (ICSO = 17 mmol.L-1). Temp.) than 4-ph and 4-phenylsuifonylmethyl compds. were more active than a 4-fluorobenzyl compound All antagonists were found to be orally absorbable. A 4-Ph and 4-ph and 4-phenylsuifonylmethyl compds. were more active than a 4-fluorobenzyl compound All antagonists were found to be orally absorbable. A 4-Ph and 4-ph and 4-phenylsuifonylmethyl compds. were more active than a 4-fluorobenzyl compound All antagonists were found to be orally absorbable. A 4-Ph and 4-

Absolute stereochemistry. Rotation (+).

● HC1

REFERENCE COUNT: THIS

11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 8 0F 9 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:180863 CAPLUS
DOCUMENT NUMBER: 128:230385
TITLE: 128:230385
Preparation of 3-alkyl-3-phenylpiperidines as tachykinin NK3 antagonists
INVENTOR(S): Chen, Michael Huai Gu; Chung, Pu-zon; Lee, Helen Tsenwhei
PATENT ASSIGNEE(S): Warner-Lambert Co., USA; Chen, Michael Huai Gu; Chung, PATENT ASSIGNEE(S):

Fu-Zon; Lee, Helen Tsenwhei PCT Int. Appl., 34 pp. CODEN: PIXXD2 Patent English SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PAT	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.			ATE	
	WO	9811	090			A2		1998	0319	1	WO 1	997-	US15	443		1	9970	902
	WO	9811	090			A3		1998	0522									
		W:	AL,	AU,	BA,	BB,	BG,	BR,	CA,	CN,	CZ,	EE,	GE,	GH,	HU,	IL,	ıs,	JP,
			KR,	LC,	LK,	LR,	LT,	LV,	MG,	MK,	MN,	MX,	NO,	NZ,	PL,	RO,	SG,	SI,
			SK,	SL,	TR,	TT,	UA,	US,	UZ,	VN,	YU,	ZW,	AM,	AZ,	BY,	KG,	KZ.	MD,
			RU,	TJ.	TM,	KE,	LS.	MW,	SD,	SZ,	UG,	AT,	BE,	CH,	DE,	DK,	ES,	FI,
			FR,	GB,	GR.	IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	BJ,	CF,	CG,	CI,	CM,
			GA,	GN,	ML.	MR,	NE,	SN,	TD,	TG								
		RW:	GH,	KE,	LS,	MW.	SD.	SZ,	UG,	ZW,	AT,	BE,	CH,	DE,	DK,	E\$,	FI,	FR,
			GB,	GR.	IE,	IT.	LU,	MC.	NL,	PT.	SE,	BF,	BJ,	CF,	CG,	CI,	CM,	GA,
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	ΑU	9741	769			A		1998	0402		AU 1	997-	4176	9		1	9970	902
	2A	9708	304			A		1998	0324		ZA I	997-	8304			1	9970	915
	us	6040	316			A		2000	0321		US 1	997- 997- 998-	9835	84		1	9980	122
	US	2001	0370	23		A1		2001	1101		US 2	001-	8738	89		2	0010	604
	US	6433	178			B2		2002	0813			001-						
	US	2003	0738	38		A1		2003	0417		US 2	002-	1773	86		2	0020	621
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US 2001-873889

A3 20010604

OTHER SOURCE(S): MARPAT 128:230385

ANSWER 8 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN

(Continued)

(Continued)

The title compds. I [R1 = alkyl, aryl, heteroaryl; R2 = H, OH, NH2, SH;

R3

* aryl, arylsulfonylmethyl, heterocyclyl; R4 = 1-4 groups selected from halo, alkyl, OH, alkoxy; n = 2-6 and the methylene group may be replaced by O, N, S3 were prepared E.g.,

(3-(3,4-dichlorophenyl)-3-(3-(4-hydroxy-4-phenylpiperidin-1-yl)propyl)piperidin-1-yl)phenylmethanone hydrochloride was prepared and shown to be a potent and selective antagonist for the human

tachykinin NK3 receptor.

IT 204642-49-9P 204642-56-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

logical study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of alkylphenylpiperidines as tachykinin NK3 antagonists) 206462-49-9 CAPLUS (Preparation); USES (Uses) (Preparation of alkylphenylpiperidines as tachykinin NK3 antagonists) 206462-49-9 CAPLUS (Preparation); Preparation NK3 antagonists) Piperidine, 1-benzoyl-3-(3,4-dichlorophenyl)-3-[3-[4-hydroxy-4-[(phenylsulfonyl)henchyl]-1-piperidinyl)propyl]-, monohydrochloride, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HC1

204642-56-8 CAPLUS

Piperidine, 1-benzoy1-3-(3,4-dichloropheny1)-3-(3-[4-hydroxy-4-[(phenylsulfony1)methy1)-1-piperidiny1)propy11- (9CI) (CA INDEX NAME)

ANSWER 8 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

ANSWER 9 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) and R2 = -Y0-Z0, and the others = H, halo. (un)substituted OH, (un)substituted hydrocarbyl, or acyl; Y0 = bond, (un)substituted bivalent hydrocarbon group; Z0 = basic group which may be bonded via O. N. CO. CS. SOZN(R3) (where R3 = H or (un)substituted hydrocarbyl), or S(O)n (where n = 0, 1, or 2); dotted line = optional pl bond) and salts thereof are disclosed. The compds. have excellent LDL receptor up-regulating, blood lipid-lowering, blood sugar-lowering, blood sugar-lowering, sand diabetic complication-ameliorating activities. Examples include 178 synthetic examples, 79

examples, and biol. data for approx. 20 selected compds. For instance,

5-thia-1,8b-diazaacenaphthylene-4-carboxylate underwent a sequence of DIBAL redn. to an alc. (87%), oxidn. to an aldehyde and Wittig-based homologation to an acrylic acid deriv. (84%), amidation with 1-Boc-piperidin-4-ylmethylamine and deprotection (92%), N-alkylation with Ph(CM2)3BY (55%), and salification with methanolic HCl. to give the title compd. II.2HCl. In hamsters, II.2HCl reduced non-HDL cholesterol to

of control, and triglycerides to 67.0% of control.

IT 198892-49-8P 198894-77-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

logical study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of fused imidazopyridine derivs. as antihyperlipidemic

Double bond geometry as shown.

●2 HC1

198894-77-8 CAPLUS 5-Thia-1,8b-diazascenaphthylene, 4-(2-[[[1-(3-phenylpropy1)-4-piperidinyl]methyl]sulfonyl]ethenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 9 0F 9 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:717923 CAPLUS
DOCUMENT NUMBER: 128:1692
FURNER STATE ACTION OF THE PROPERTY ASSIGNEE(S): Takatani, Muneo; Shibouta, Yumiko; Sugiyama, Yasuo; Kawamoto, Tetsuji
Takeda Chemical Industries, Ltd., Japan
PCT Int. Appl., 457 pp.
COODE: PIXXD2
DOCUMENT TYPE: Parent Information: English
PAMILLY ACC. NUM. COUNT; English
PAMILLY ACC. NUM. COUNT; PIXEO PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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	AU	9724	048			A		1997	1112		AU 1	997-	2404	8		1	9970	423
	JP	2251 9724 1022	6689			A		1998	0825	•	JP 1	997-	1056	25		1	9970	423
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			IE,	FI					- -									
	CN	1223	659			A		1999	0721		CN 1	997-	1939	38		1	9970	423
	บร	1223 6235	731			B1		2001	0522		US 1	998-	1558	89		1	9981	008
PR	IORITY										JP 1	996-	1023	03		A 1	9960	424
											JP 1	996-	3308	01		A 1	9961	211
											WO 1	997-	.1913	95		w 1	9970	423

OTHER SOURCE(S):

MARPAT 128:3692

AB Novel compds. I (wherein ring Q is optionally substituted; one of RO, R1,

ANSWER 9 OF 9 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

(FILE 'HOME' ENTERED AT 18:20:41 ON 31 JAN 2008)

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L1 STRUCTURE UPLOADED

L216 S L1

L3 260 S L1 FULL

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9 S L3 FULL L4

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

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         AUG 06
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         AUG 06
                 CA/CAplus enhanced with additional kind codes for granted
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         AUG 13
                 patents
                 CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS
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         AUG 20
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                 Full-text patent databases enhanced with predefined
                 patent family display formats from INPADOCDB
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                 USPATOLD now available on STN
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                 CAS REGISTRY enhanced with additional experimental
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                 FORIS renamed to SOFIS
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                 INPADOCDB enhanced with monthly SDI frequency
NEWS 11
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         SEP 17
                 CA/CAplus enhanced with printed CA page images from
                 1967-1998
                 CAplus coverage extended to include traditional medicine
NEWS 13
         SEP 17
                 patents
         SEP 24
                 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 14
NEWS 15
         OCT 02
                 CA/CAplus enhanced with pre-1907 records from Chemisches
                 Zentralblatt
NEWS 16
         OCT 19
                 BEILSTEIN updated with new compounds
NEWS 17
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                 WPIX enhanced with XML display format
        NOV 19
NEWS 18
         NOV 30
                 ICSD reloaded with enhancements
NEWS 19
                 LINPADOCDB now available on STN
NEWS 20
        DEC 04
                 BEILSTEIN pricing structure to change
NEWS 21
         DEC 14
                 USPATOLD added to additional database clusters
NEWS 22
         DEC 17
                 IMSDRUGCONF removed from database clusters and STN
         DEC 17
NEWS 23
                 DGENE now includes more than 10 million sequences
         DEC 17
NEWS 24
                 TOXCENTER enhanced with 2008 MeSH vocabulary in
NEWS 25
         DEC 17
                 MEDLINE segment
                 MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS 26
         DEC 17
                 CA/CAplus enhanced with new custom IPC display formats
NEWS 27
         DEC 17
NEWS 28
         DEC 17
                 STN Viewer enhanced with full-text patent content
                 from USPATOLD
                 STN pricing information for 2008 now available
NEWS 29
         JAN 02
                 CAS patent coverage enhanced to include exemplified
NEWS 30
         JAN 16
                 prophetic substances
                 USPATFULL, USPAT2, and USPATOLD enhanced with new
NEWS 31
         JAN 28
                 custom IPC display formats
                 MARPAT searching enhanced
NEWS 32
         JAN 28
                 USGENE now provides USPTO sequence data within 3 days
         JAN 28
NEWS 33
                 of publication
                 TOXCENTER enhanced with reloaded MEDLINE segment
NEWS 34
         JAN 28
                 MEDLINE and LMEDLINE reloaded with enhancements
NEWS 35
         JAN 28
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CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

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chain nodes :
7  8  9  10  11  12  13  14  15  20  21  22  23  24  25  26  27  28  29
ring nodes :
1  2  3  4  5  6
chain bonds :
1-15  2-22  2-23  3-24  3-25  4-7  5-26  5-27  6-20  6-21  7-8  7-14  8-9  8-12
8-13  9-10  9-11  15-28  28-29
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6
exact/norm bonds :
1-2  1-6  2-3  3-4  4-5  5-6
exact bonds :
1-15  2-22  2-23  3-24  3-25  5-26  5-27  6-20  6-21  7-8  8-9  8-12  8-13
isolated ring systems :
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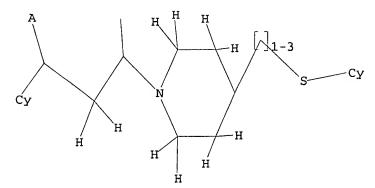
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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:Atom

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L1 STR



G1 H, Ak

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SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 29216 TO

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L21 SEA SSS SAM L1

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FULL SEARCH INITIATED 18:01:36 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 31904 TO ITERATE

21 ANSWERS 31904 ITERATIONS 100.0% PROCESSED

SEARCH TIME: 00.00.02

L3 21 SEA SSS FUL L1

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L4 ANSWER 1 OF 4
ACCESSION NUMBER:
DOCUMENT NUMBER:
11TLE:
2006:11297 CAPLUS
2006:11297 CAPLUS
144:108213
Preparation of piperidine and 8azabicyclo[3].2.1]octane derivatives as modulators of chemokine receptor CCR5
FATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
4 CAPLUS
2008:11297 CA

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: Patent English

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WC	2006	0017	52		A1		2006	0105	1	WO 2	005-	SE95	3		20	00506	520
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ.	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN.	co.	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM.	KP,	KR,	KZ,
		LC,	LK.	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN.	MW.	MX,	MZ,	NA,
		NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,
		SL,	SM,	SY,	TJ.	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC.	VN,	YU,
		ZA,	ZM,	ZW													
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		IS.	IT.	LT.	LU,	MC.	NL,	PL,	PT,	RO.	SE.	SI,	SK,	TR,	BF,	BJ,	CF.
		CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,	GM,
		KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM.	AZ,	BY,	KG,
		KZ,	MD,	RU,	TJ.	TM											
AL	2005	2577	08		A1		2006	0105		AU 2	005-	2577	08		20	00506	520
C	2570	893			A1		2006	0105		CA 2	005-	2570	893		20	00506	520
	1761																
	R:	AT.	BE.	BG.	CH.	CY.	CZ.	DE.	DK.	EE.	ES,	FI.	FR.	GB,	GR.	HU.	IE,
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US	2008	0210	38		A1		200B	0124		US 2	006-	6288	08		20	0061	207
71	2007	DNOO	246		A		2007	0803		IN 2	007-	DN24	6		20	0070	109
PRIORIT	Y APP	LN.	INFO							SE 2	004-	1656			A 20	0040	624
				• •										•	_		
										wn 2	005-	CEGS	3			00504	620

OTHER SOURCE(S):

MARPAT 144:108213

Answer 1 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) 872850-00-5 CAPLUS Cyclohexanecarboxamide, 4,4-difluoro-N-[(IS)-3-[4-hydroxy-4-[2-[[4-(methylsulfonyl)phenyl]sulfonyl]ethyl]-1-piperidinyl)-1-phenylpropyl]-(CA INDEX NAME)

Absolute stereochemistry

872850-01-6 CAPLUS

Cyclohexanecarboxamide, 4.4-difluoro-N-{(1S)-3-[4-methyl-4-[2-[{4-methyl-y-lphenyl)phenyl]sulfonyl]-1-piperidinyl]-1-phenylpropyl}-(CA INDEX NAME)

Absolute stereochemistry.

872850-25-4P, (1S)-3-[4-Methyl-4-[2-[[4-(methylsulfonyl)phenyl]sulfonyl]phenyl]piperidin-1-yl]-1-phenylpropan-1-ol RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(preparation of piperidine and 8-azabicyclo[3.2.1]octane derivs. as

CCRS

CCR5
modulators)
RN 872850-25-4 CAPLUS
CN 1-Piperidinepropanol,
4-methyl-4-(2-[(4-(methylsulfonyl)phenyl)sulfonyl)et
hyl]-a-phenyl-, (aS)- (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 1 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Title compds, represented by the formula I [wherein A = absent or CH2CH2; Rl = alkyl, amide, (hetero)aryl, etc., R2 = (un)substituted Ph or heteroaryl; R3 = H or alkyl; R4 = halo, hydroxy, cyano, etc.; R5 = aryl, alkoxyaryl, alkylaryl, etc.; and pharmaceutically acceptable salts thereof] were prepared as chemokine receptor (CCR5) modulators. For example, II was provided in a multi-step synthesis starting from 4-methyl-4-[2-[4-(methylsulfonyl)phenyl]sulfonyl]pineridine. I were tested activity as CCR5 modulators for inhibiting the binding of RANTES and MIP-la, certain compds. have an IC50 of less than 50 µM. Thus, I and their pharmaceutical compns. are useful for the treatment of CCR5-mediated diseases.
872849-99-5P 872850-00-5P 872850-01-5P RL: PAC (Pharmacological activity); SFN (Synthetic preparation); TMU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperidine and 8-azabicyclo(3.2.1)octane derivs. as CCR5

modulators)

Modulators (APLUS
Cyclohexanecarboxamide, 4,4-difluoro-N-[(1S)-3-[4-fluoro-4-[2-[[4-(methylaulfonyl)phenyl]sulfonyl]ethyl]-1-piperidinyl]-1-phenylpropyl]-(CA INDEX NAME)

Absolute stereochemistry.

ANSWER 1 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

THERE ARE 14 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

L4 ANSWER 2 OF 4
ACCESSION NUMBER:
DOCUMENT NUMBER:
TITLE:
LA preparation of novel piperidine derivatives as modulators of chemokine receptor CCR5
LA preparation of novel piperidine derivatives as modulators of chemokine receptor CCR5
LA preparation of novel piperidine derivatives as modulators of chemokine receptor CCR5
LA preparation of novel piperidine derivatives as modulators of chemokine receptor CCR5
LA preparation of novel piperidine derivatives as modulators of chemokine receptor CCR5

INVENTOR(S): Oldfield,

John; Tucker, Howard Astrazeneca AB, Swed. PCT Int. Appl., 118 pp. CODEN: PIXXD2 Patent English 1 PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PA	ENT :	NO.			KIN	D	DATE				ICAT					ATE	
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	WO	2004	0567	73		A1		2004	0708		WO 2	2003-	SE20	08		2	0031	218
		W:	AE.	AG.	AL,	AM.	AT.	AU.	AZ.	BA.	BB.	BG.	BR.	BW.	BY.	BZ.	CA.	CH.
			CN.	co.	CR.	CU.	CZ.	DE.	DK.	DM.	DZ.	EC.	EE.	EG.	ES.	FI.	GB.	GD.
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	NO	2005 2005 APP	0035	33		•		2005	0320		NO A	.005-	3232				0050	113
	ZA	2005	0046	16		^		2006	0329		2A 4	2005-	4616			. 4	0060	110
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											SE 4	.003-	499			A 2	0030	224
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											55 4	:003-	1445			m 2	0030	212
												2003-		^^			0031	210
											WO 4		3620	vo			0031	410

OTHER SOURCE(S):

MARPAT 141:106374

ANSWER 2 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

718611-68-8 CAPLUS
Propanamide, 3,3,3-rrifluoro-N-[(1S)-3-[4-[2-[[4(methylsulfonyl)phenyl]sulfonyl]ethyl]-1-piperidinyl]-1-phenylpropyl](CA INDEX NAME)

Absolute stereochemistry.

718611-69-9 CAPLUS
Propanamide, 3,3,3-trifluoro-N-[(1S)-3-[4-[2-[(4-methylphenyl)sulfonyl)-1-piperidinyl]-1-phenylpropyl)- (CA INDEX NAME)

Absolute stereochemistry.

718611-70-2 CAPLUS
Propanamide, 3,3-trifiluoro-N-[(1S)-3-[4-[2-[(4fluorophenyl)sulfonyl]ethyl]-1-piperidinyl-1-phenylpropyl]- (CA INDEX

Absolute stereochemistry,

ANSWER 2 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

AB The invention relates to a preparation of novel piperidine derivs. of formula I [wherein: A is absent or (CH2)2; Rl is alkyl, C(0)NH-alkyl, or CO2-alkyl, etc.; R2 is alkyl, Ph. heteroaryl, or cycloalkyl; R3 is H or alkyl; R4 is (hetero)aryl or (cyclo)alkyl; X is O or S(0)0-2], useful as modulators of chemokine receptor CCR5. The invention compds, are claimed to be useful for the treatment of CCR5-mediated diseases such as autoimmune, inflammatory, or proliferative diseases. The invented compds, are also of

value in inhibiting the entry of viruses (such as HIV) into target cells (no biol. data). The ability of the invention compds. to inhibit the binding of RANTES and MIP-le was assessed (certain compds. of formula I have ICSO < 50 μ M). For instance, Pic50 (neg. log of the IC50 result) for piperidine derivative II was determined as 6.91 (table

718610-18-5P 718611-68-8P 718611-69-9P
718611-70-2P 718611-71-3P 718611-72-4P
718611-73-5P
RL. PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

es) (preparation of novel piperidine derivs. as modulators of chemokine receptor

receptor
ccr5)
RN 718610-18-5 CAPLUS
CN Benzamide,
4-chloro-N-{(1S)-3-{4-{2-{{4-(methylsulfonyl)phenyl}sulfonyl)ethyl}-1-piperidinyl}-1-phenylpropyl}- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

718611-71-3 CAPLUS
Butanamide, 4,4,4-trifluoro-N-[{1S}-3-[4-[2-[[4-(methylsulfonyl)phenyl]sulfonyl)ethyl]-1-piperidinyl]-1-phenylpropyl}-(CA INDEX NAME)

Absolute stereochemistry.

718611-72-4 CAPLUS
Cyclobutanecarboxamide, 3,3-difluoro-N-[(1S)-3-[4-[2-[(4(methylsulfonyl)phenyl]sulfonyl]ethyl]-1-piperidinyl]-1-phenylpropyl)(CA INDEX NAME)

718611-73-5 CAPLUS
Cyclohexanecarboxamide, 4,4-difluoro-N-[(1S)-3-[4-[2-[(4[methylsulfonyl)phenyl]sulfonyl]ethyl]-1-piperidinyl]-1-phenylpropyl](CA INDEX NAME)

Absolute stereochemistry.

TT 718610-15-2P 718610-19-6P 718610-23-2P
718610-66-3P 718610-69-6P 718611-16-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel piperidine derivs. as modulators of chemokine receptor

(Continued)

718610-19-6 CAPLUS
1-Piperidinepropanamine, 4-[2-[[4-(methylsulfonyl)phenyl]sulfonyl]ethyl]--phenyl-, (aS)- (CA INDEX NAME)

Absolute stereochemistry.

718610-23-2 CAPLUS
Piperidine, 1-(3-chloro-3-phenylpropyl)-4-[2-[(4-fluorophenyl)sulfonyl)ethyl]- (CA INDEX NAME)

ANSWER 2 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

718610-66-3 CAPLUS
1-Piperidinepropanol, 4-[2-[[4-(methylsulfonyl)phenyl]sulfonyl]ethyl]α-phenyl- (CA INDEX NAME)

718610-69-6 CAPLUS 1-Piperidinepropanol, 4-[2-[(4-fluorophenyl)sulfonyl]ethyl]- α -phenyl, (α S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 718611-16-6 CAPLUS
CN Carbamic acid.
[(1S)-3-[4-[2-[[4-(methylsulfonyl)phenyl]sulfonyl]ethyl]-1piperidinyl)-1-phenylpropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 3 OF 4
ACCESSION NUMBER: 1974:505305 CAPLUS
OCCUMENT NUMBER: 81:105305
ORIGINAL REFERENCE NO.: 81:16651a.16654a
1-(3-cyano-3.3-diphenylpropyl)-4-phenyl-piperidine-4-carboxylic acid derivatives
INVENTOR(S): Briggs, Frederick B.
SOURCE: Brigs, Frederick B.
SOURCE: CODEN: BRXXAA

Patent English

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE GB 1971-57390 . GB 1971-57390 . 19701216 GB 1356118 PRIORITY APPLN. INFO.: A 19740612

For diagram(s), see printed CA Issue. Seventeen title derivs. I.HCl (R = heteroaryloxy, substituted phenoxy, amino, hydrazino, sloxy, and alkylthio) diarrhea inhibitors which also counteract the withdrawal symptoms associated with chronic psychotropic

intoxication (no data), were prepared from the title acid I (R = OH). I possess analgesic, antiprotozoal, antibacterial, antifungal, and anthelmintic activity (no data). 37981-42-9P
RL: SFN (Synthetic preparation); PREP (Preparation) (preparation of) 37983-42-9 CAPLUS 4-Piperidinecarbothioic acid, 1-(3-cyano-3,3-diphenylpropyl)-4-phenyl-,S-(2.4-dichlorophenyl) ester, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2008 ACS ON STN
ACCESSION NUMBER: 1972;539819 CAPLUS
DOCUMENT NUMBER: 77:139819
77:139819
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17

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
	DE 2161827	A	19720706	DE 1971-2161827	19711213	
	GB 1356117	λ	19740612	GB 1970-59686	19701216	
	CA 947296	A1	19740514	CA 1971-129748	19711209	
	BE 776644	A1	19720613	BE 1971-111627	19711213	
	BE 776645	A1	19720613	BE 1971-111628	19711213	
	NL 7117061	A	19720620	NL 1971-17061	19711213	
	NL 7117062	Α	19720620	NL 1971-17062	19711213	
	FR 2118060	λ5	19720728	FR 1971-44705	19711213	
	FR 2118060	В1	19751031			
	FR 2118061	A5	19720728	FR 1971-44706	19711213	
	FR 2118061	B1	19751010			
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	ES 397911	A1	19750416	ES 1971-397911	19711213	
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	DK 130966	В	19750512	DK 1971-6076	19711213	
	CH 572037	A5	19760130	CH 1971-18174	19711213	
	CH 572920	A5	19760227	CH 1971-18173	19711213	
	CH 572922	A5	19760227	CH 1974-16946	19711213	
	CH 572923	A5	19760227	CH 1974-16947	19711213	
	DK 136037	В	19770801	DK 1971-6075	19711213	
	JP 55042996	В	19801104	JP 1971-100937	19711213	
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	SE 370543	В	19741021	SE 1971-15979	19711214	
	US 3843646	A	19741022	US 1971-208445	19711215	
	US 3847923	A	19741112	US 1971-208442	19711215	
	ES 400478	Al	19750101	ES 1972-400478	19720306	
	ES 400479	Al	19750116	ES 1972-400479	19720306	
	us 3959275	A	19760525	US 1974-473750	19740528	
	JP 55120584	A	19800917	JP 1980-7378	19800124	J
	JP 56004556	В	19810130			
	JP 55127388	A	19801002	JP 1980-7379	19800124	į
	' JP 56006429	В	19810210			
PRI	ORITY APPLN. INFO.:			GB 1970-59686	19701216	,
				US 1971-208442	A3 19711215	,

OTHER SOURCE(S): MARPAT 77:139819

GI For diagram(s), see printed CA Issue.

AB Eighteen title compds. (I, e.g. R = 2-pyridyloxy, 2-pyridylmethoxy, 2.45-C13C6H20 (II), 3.4-Me(MeS)C6H3I, 2.4-C12C6H3S, PhCH2S, phthalimidomethoxy, Me2NNH, 4-MeOC6H4NH and (or) their mono- or

ANSWER 4 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN (Continued) dihydrochlorides, useful as antidiarrheal drugs, were prepd. by reaction of I (R = 0H or Cl) with RH. Thus, 2,4,5-cl15ch20H and dicyclohexylcarbodiimide were added to I (R = 0H) in DMF and the mixt.

IT

stirred 24 hr to give II.

37983-42-9P 37983-44-1P
RL: SFN (Synthetic preparation); PREP (Preparation)
(preparation of)
37983-42-9 CAPLUS
4-Piperidinecarbothioic acid, 1-(3-cyano-3,3-diphenylpropyl)-4-phenyl-,
S-(2,4-dichlorophenyl) ester, monohydrochloride (9CI) (CA INDEX NAME)

37983-44-1 CAPLUS 4-Piperidinearbothioic acid, 1-(3-cyano-3,3-diphenylpropyl)-4-phenyl-, S-2-furanyl ester, monohydrochioride (9CI) (CA INDEX NAME)

● HCl

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FILE 'REGISTRY' ENTERED AT 18:00:27 ON 31 JAN 2008

L1 STRUCTURE UPLOADED

L2 1 S L1

L3 21 S L1 FULL

FILE 'CAPLUS' ENTERED AT 18:01:43 ON 31 JAN 2008

L4 4 S L3 FULL

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COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
22.76
201.79

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE
ENTRY
SESSION

CA SUBSCRIBER PRICE -3.20 -3.20

STN INTERNATIONAL LOGOFF AT 18:03:06 ON 31 JAN 2008

Welcome to STN International! Enter x:x

LOGINID: SSPTANXR1625

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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                 Web Page for STN Seminar Schedule - N. America
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                 CAS REGISTRY enhanced with new experimental property tags
NEWS
         AUG 06
                 FSTA enhanced with new thesaurus edition
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                 CA/CAplus enhanced with additional kind codes for granted
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                 CA/CAplus enhanced with CAS indexing in pre-1907 records
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                 Full-text patent databases enhanced with predefined
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      6
                 patent family display formats from INPADOCDB
NEWS
      7
         AUG 27
                 USPATOLD now available on STN
                 CAS REGISTRY enhanced with additional experimental
NEWS
      8
         AUG 28
                 spectral property data
                 STN AnaVist, Version 2.0, now available with Derwent
NEWS
      9
         SEP 07
                 World Patents Index
                 FORIS renamed to SOFIS
NEWS 10
         SEP 13
                 INPADOCDB enhanced with monthly SDI frequency
NEWS 11
         SEP 13
NEWS 12
         SEP 17
                 CA/CAplus enhanced with printed CA page images from
                 1967-1998
                 CAplus coverage extended to include traditional medicine
NEWS 13
         SEP 17
                 patents
                 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
         SEP 24
NEWS 14
                 CA/CAplus enhanced with pre-1907 records from Chemisches
NEWS 15
         OCT 02
                 Zentralblatt
NEWS 16
         OCT 19
                 BEILSTEIN updated with new compounds
                 Derwent Indian patent publication number format enhanced
        NOV 15
NEWS 17
                 WPIX enhanced with XML display format
NEWS 18 NOV 19
        NOV 30
                 ICSD reloaded with enhancements
NEWS 19
NEWS 20 DEC 04
                 LINPADOCDB now available on STN
NEWS 21
        DEC 14
                 BEILSTEIN pricing structure to change
                 USPATOLD added to additional database clusters
        DEC 17
NEWS 22
                 IMSDRUGCONF removed from database clusters and STN
         DEC 17
NEWS 23
                 DGENE now includes more than 10 million sequences
         DEC 17
NEWS 24
NEWS 25
         DEC 17
                 TOXCENTER enhanced with 2008 MeSH vocabulary in
                 MEDLINE segment
                 MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS 26
         DEC 17
         DEC 17
                 CA/CAplus enhanced with new custom IPC display formats
NEWS 27
         DEC 17
                 STN Viewer enhanced with full-text patent content
NEWS 28
                 from USPATOLD
                 STN pricing information for 2008 now available
NEWS 29
         JAN 02
                 CAS patent coverage enhanced to include exemplified
NEWS 30
         JAN 16
                 prophetic substances
                 USPATFULL, USPAT2, and USPATOLD enhanced with new
         JAN 28
NEWS 31
                 custom IPC display formats
                 MARPAT searching enhanced
         JAN 28
NEWS 32
                 USGENE now provides USPTO sequence data within 3 days
         JAN 28
NEWS 33
                 of publication
                 TOXCENTER enhanced with reloaded MEDLINE segment
NEWS 34
         JAN 28
                 MEDLINE and LMEDLINE reloaded with enhancements
         JAN 28
NEWS 35
```

NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2,

CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

NEWS HOURS STN Operating Hours Plus Help Desk Availability

NEWS LOGIN Welcome Banner and News Items

NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 18:11:36 ON 31 JAN 2008

=> file reg

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 18:11:43 ON 31 JAN 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 30 JAN 2008 HIGHEST RN 1001156-45-1 DICTIONARY FILE UPDATES: 30 JAN 2008 HIGHEST RN 1001156-45-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when conducting SmartSELECT searches.

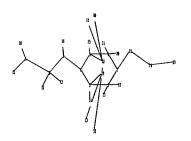
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\Stnexp\Queries\10564509a.str

No.



```
chain nodes :
7  8  9  10  11  12  13  14  15  20  21  22  23  24  25  26  27  30  31  32  33
ring nodes :
1  2  3  4  5  6  28  29
chain bonds :
1-15  2-22  2-23  3-24  4-7  5-25  6-20  6-21  7-8  7-14  8-9  8-12  8-13  9-10
9-11  15-26  26-27  28-30  28-31  29-32  29-33
ring bonds :
1-2  1-6  2-3  3-4  3-29  4-5  5-6  5-28  28-29
exact/norm bonds :
1-2  1-6  2-3  3-4  4-5  4-7  5-6  7-14  9-10  9-11  15-26  26-27
exact bonds :
1-15  2-22  2-23  3-24  3-29  5-25  5-28  6-20  6-21  7-8  8-9  8-12  8-13  28-29
28-30  28-31  29-32  29-33
isolated ring systems :
containing 1 :
```

G1:H,Ak

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS

11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 20:CLASS 21:CLASS 22:CLASS

23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:Atom 28:Atom 29:Atom 30:CLASS

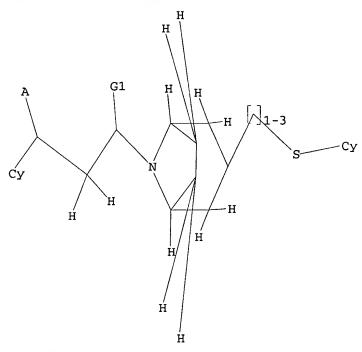
31:CLASS 32:CLASS 33:CLASS

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



G1 H, Ak

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 18:12:07 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 19 TO ITERATE

100.0% PROCESSED 19 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 119 TO 641 PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s 11 full

FULL SEARCH INITIATED 18:12:12 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 411 TO ITERATE

100.0% PROCESSED 411 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

L3 0 SEA SSS FUL L1

=> log y

4. 1 · A

COST IN U.S. DOLLARS SINCE FILE TOTAL

FULL ESTIMATED COST ENTRY SESSION 178.36 178.57

STN INTERNATIONAL LOGOFF AT 18:12:17 ON 31 JAN 2008